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Replacement Sheet

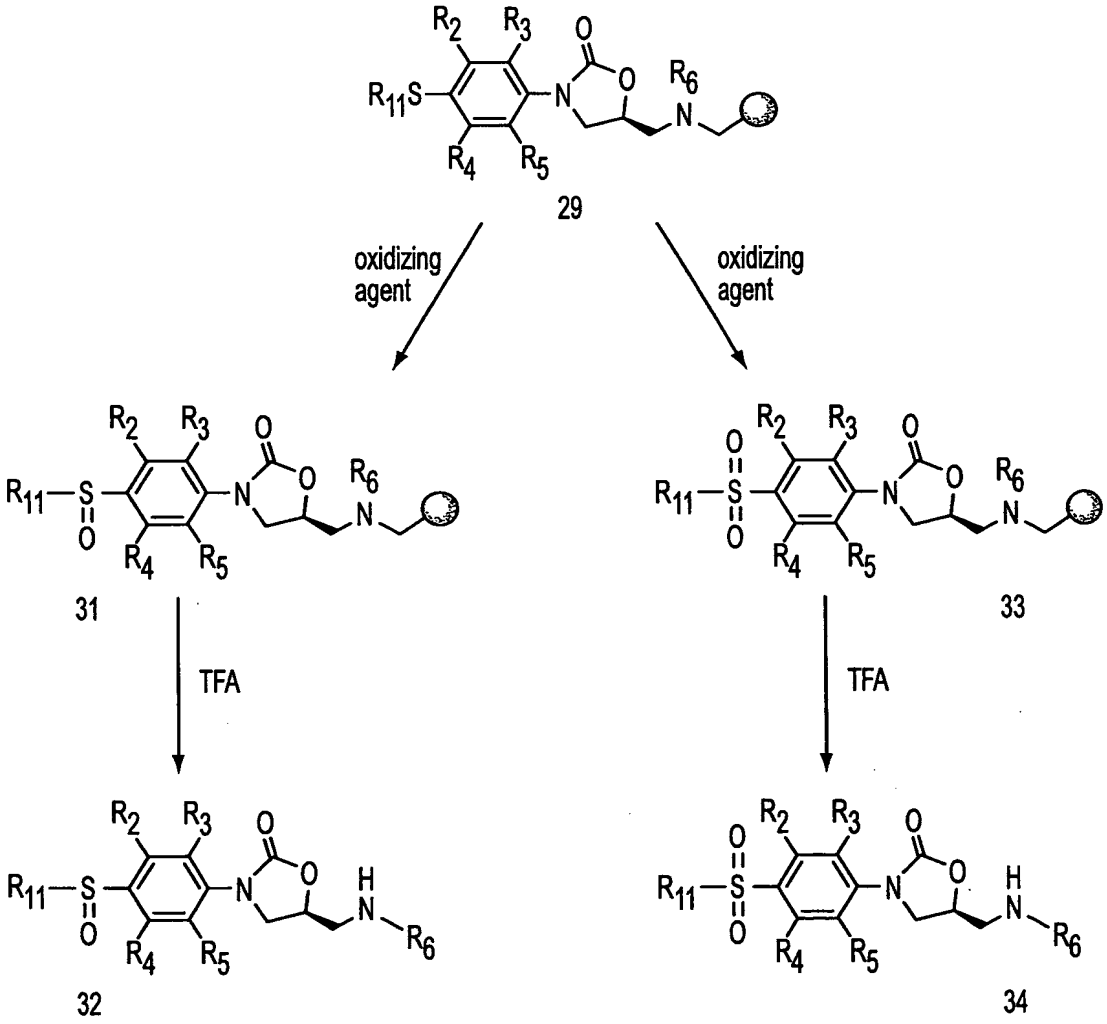


FIG. 7

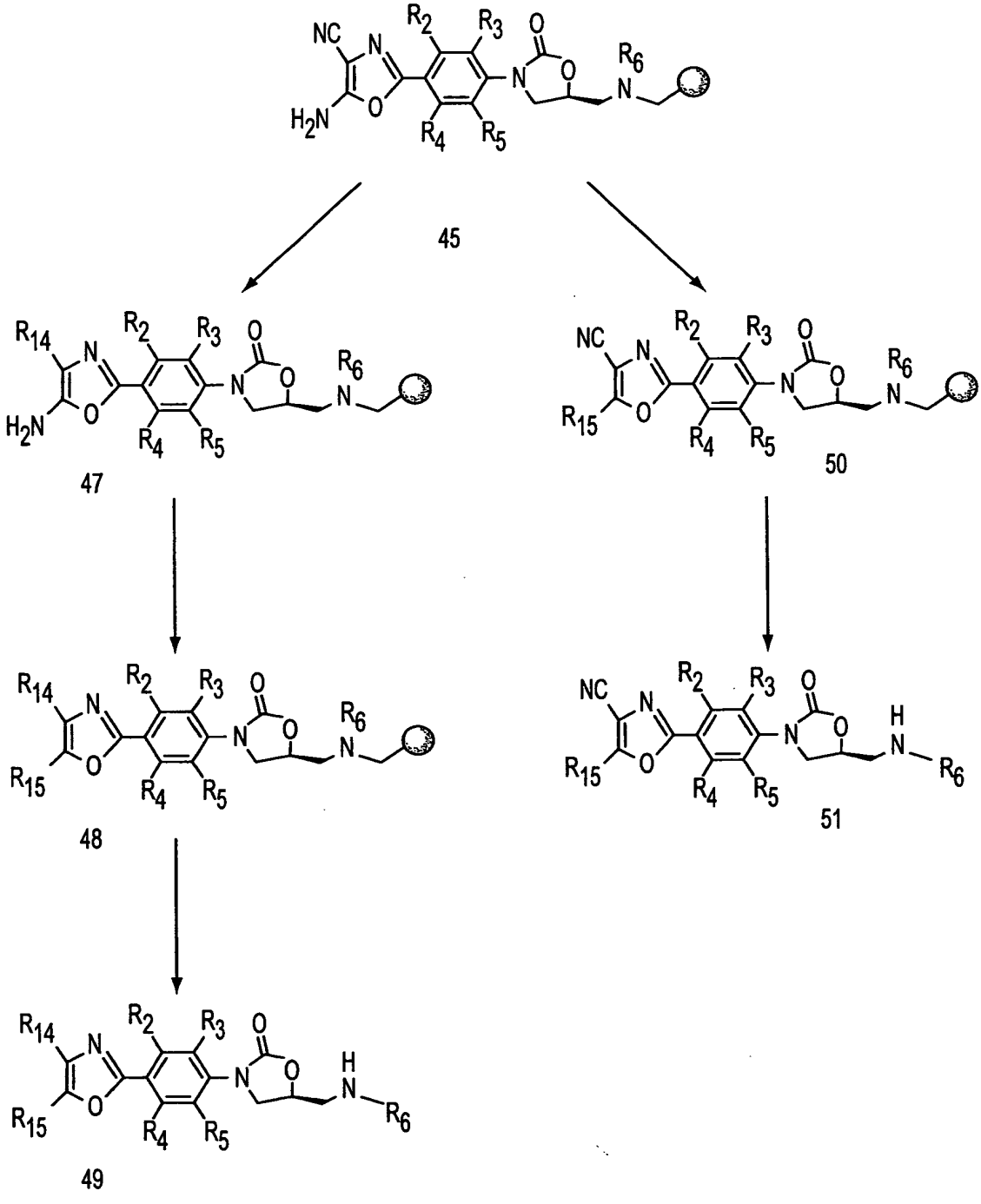


FIG. 11

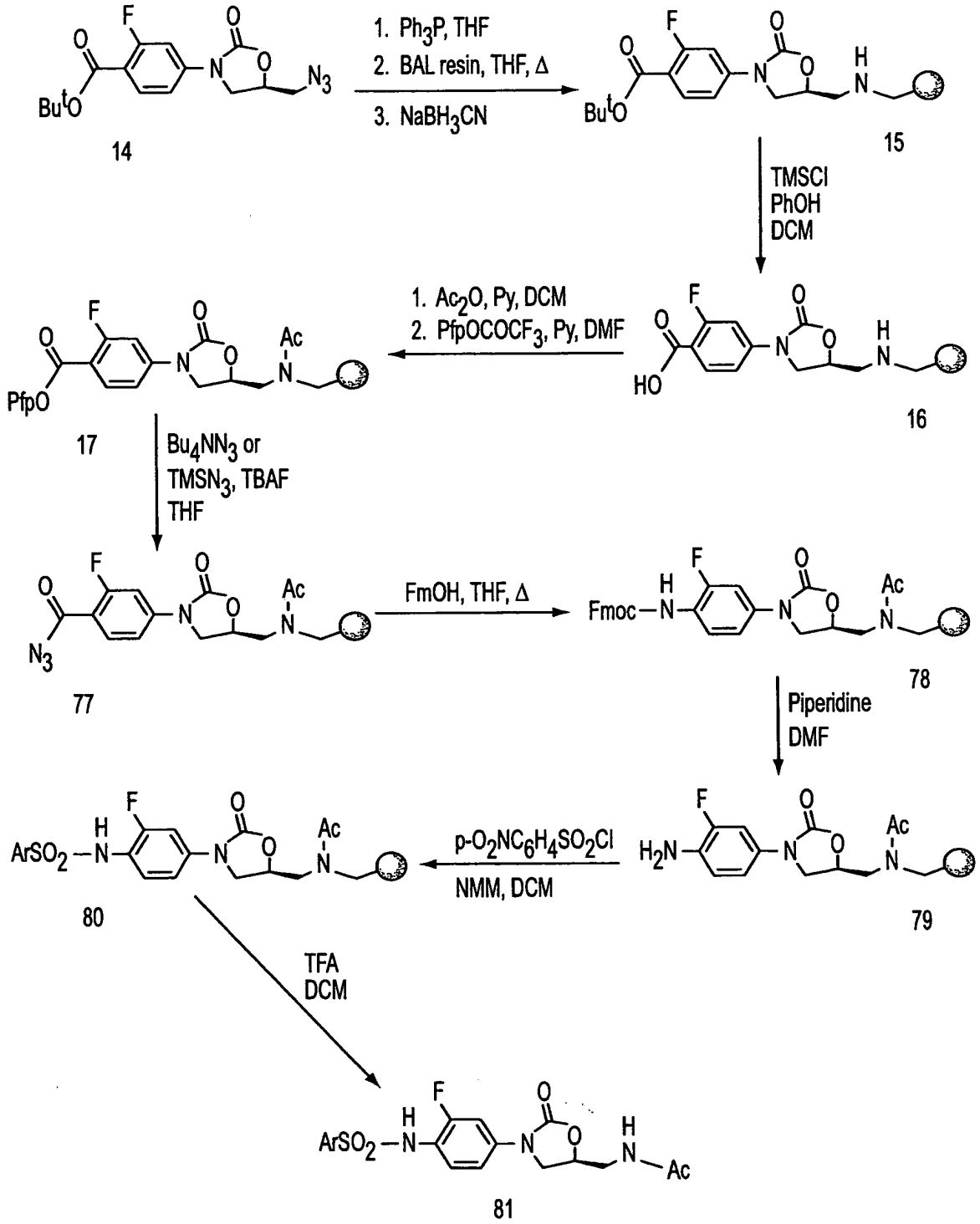

1. Ph_3P, THF
2. BAL resin, THF, Δ
3. $NaBH_3CN$
14
15
TMSCl
PhOH
DCM
1. Ac_2O, Py, DCM
2. $PfpOCOCF_3$, Py, DMF
16
17
Bu_4NN_3 or
$TMSN_3$, TBAF
THF
FmOH, THF, Δ
77
78
Piperidine
DMF
$p\text{-}O_2NC_6H_4SO_2Cl$
NMM, DCM
79
80
TFA
DCM
81

FIG. 18

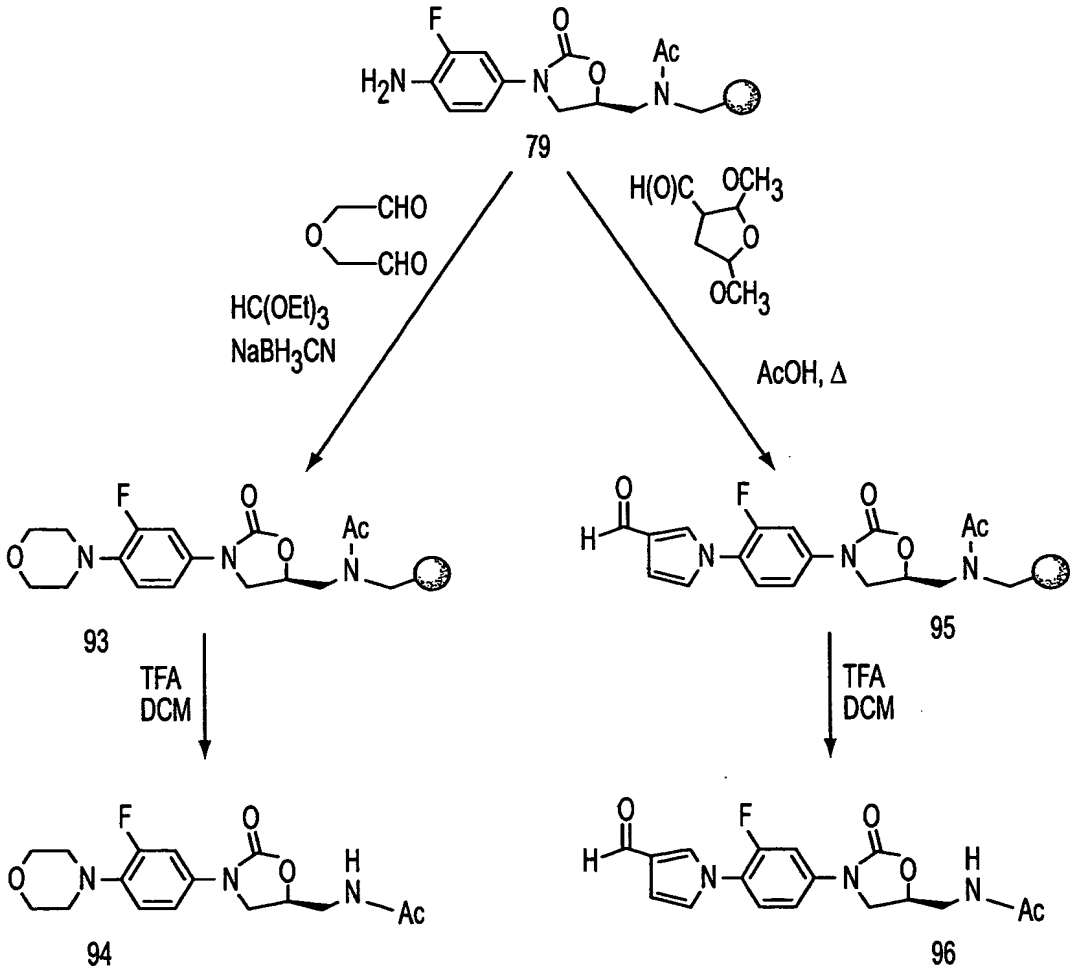

F
O
Ac
H_2N
N
O
N
79
CHO
O
CHO
$HC(OEt)_3$
$NaBH_3CN$
H(O)C
OCH_3
O
OCH_3
AcOH, Δ
F
O
Ac
O
N
N
O
N
93
TFA
DCM
H
O
F
O
Ac
N
N
O
N
95
TFA
DCM
F
O
H
N
O
N
O
N
94
Ac
H
O
F
O
H
N
O
N
O
N
96
Ac
H

FIG. 22

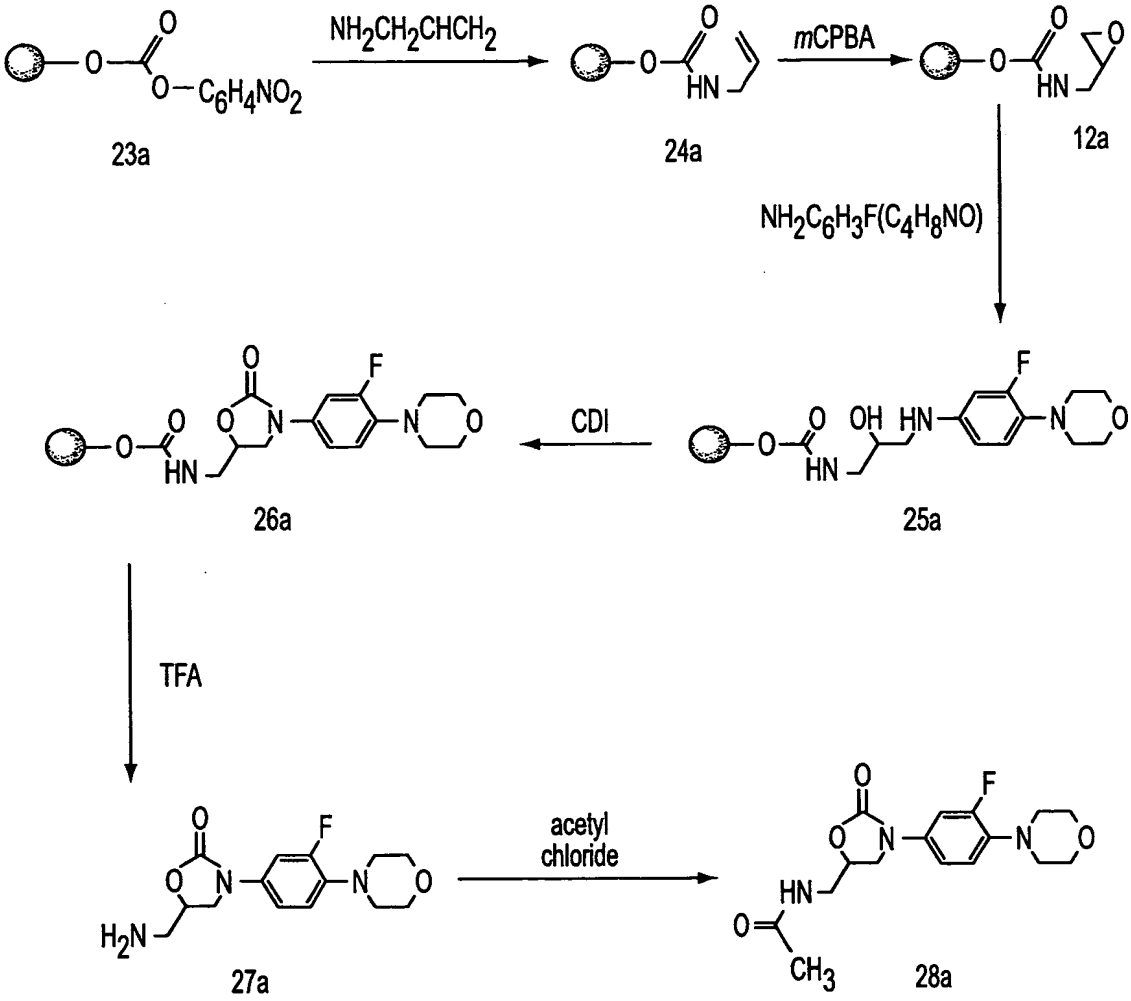

NH2CH2CHCH2
mCPBA
23a
24a
12a
NH2C6H3F(C4H8NO)
CDI
26a
25a
TFA
acetyl chloride
27a
28a

FIG. 25

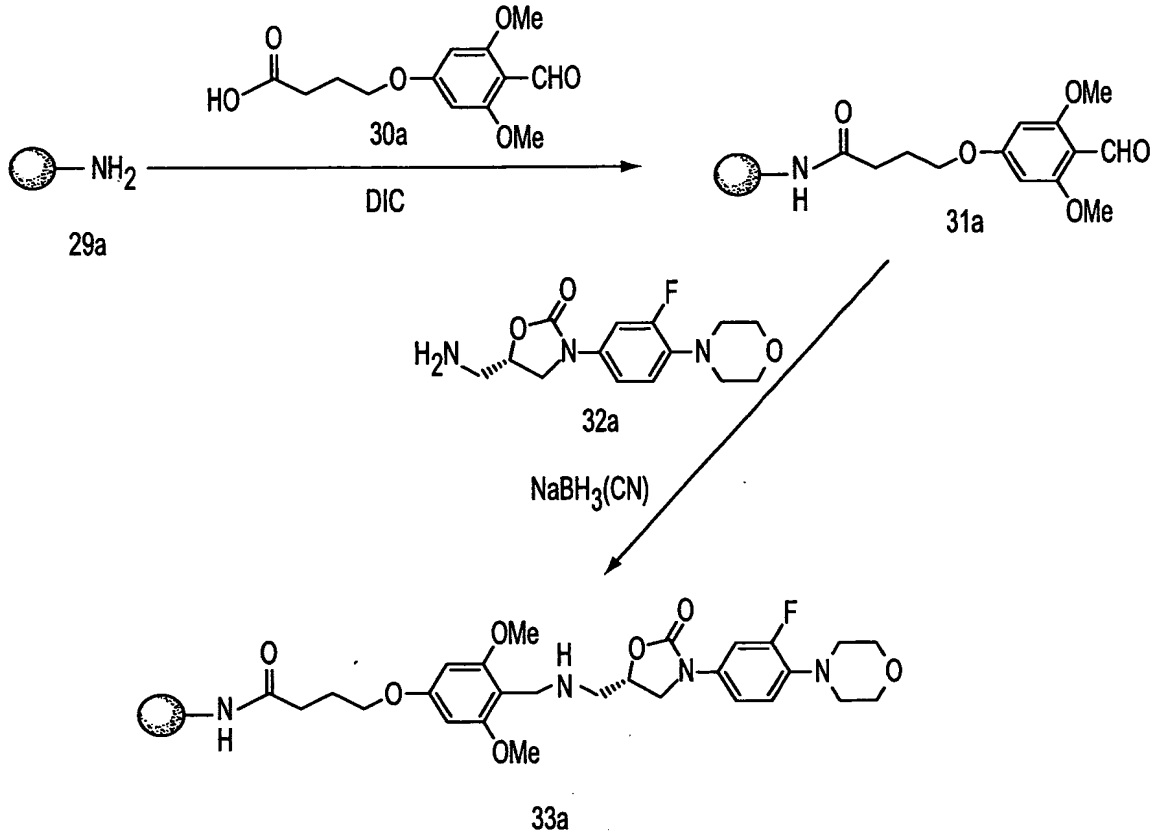

OMe
O
HO
CHO
30a
OMe
NH2
DIC
29a
O
N
H
OMe
CHO
31a
OMe
F
O
O
H2N
N
N
O
32a
NaBH3(CN)
OMe
O
H
O
F
O
N
N
N
O
N
H
OMe
33a

FIG. 26

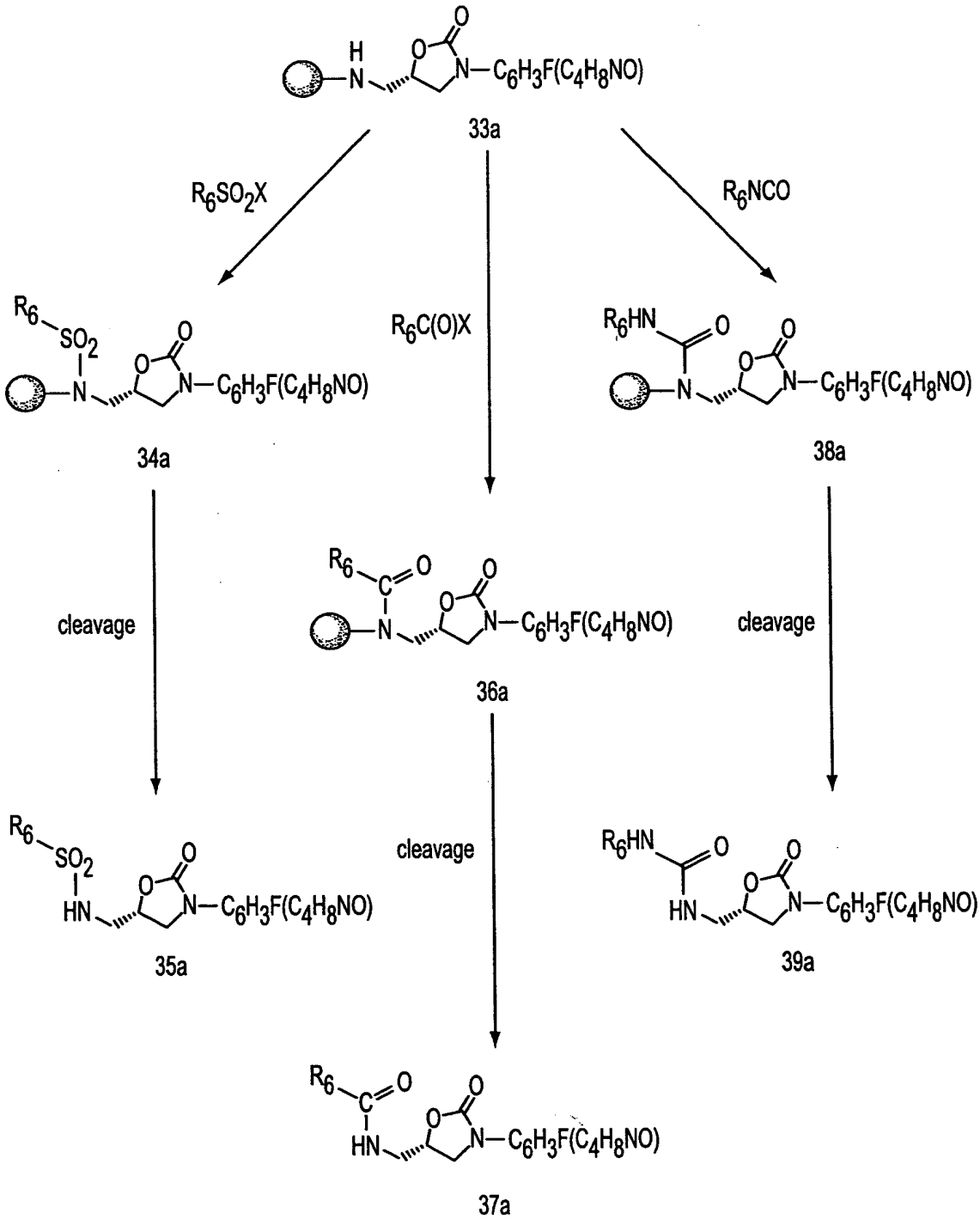

33a
R_6SO_2X
$R_6C(O)X$
R_6NCO
34a
36a
38a
cleavage
cleavage
cleavage
35a
37a
39a
$C_6H_3F(C_4H_8NO)$

FIG. 27

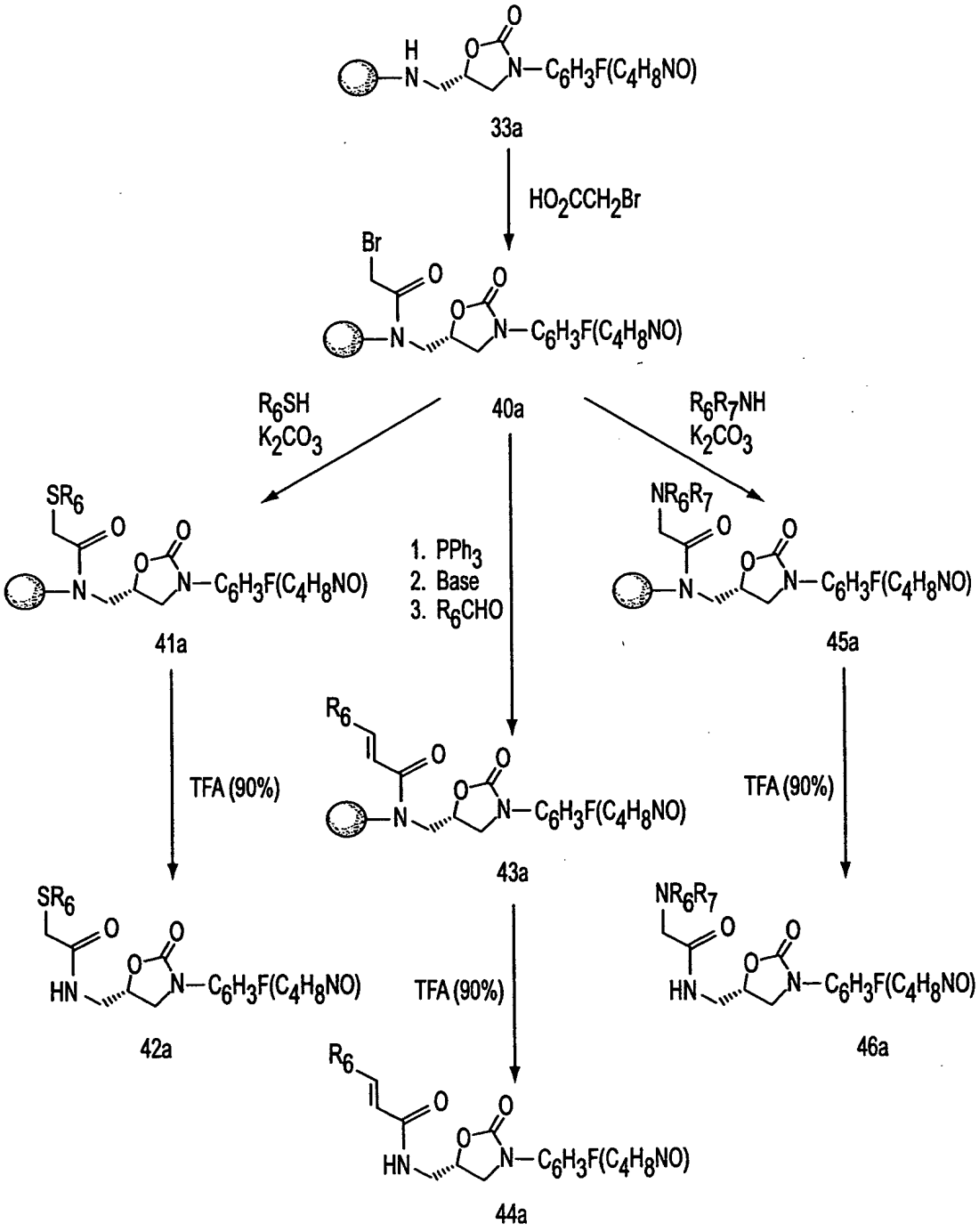

33a
HO2CCH2Br
40a
R6SH
K2CO3
R6R7NH
K2CO3
1. PPh3
2. Base
3. R6CHO
41a
45a
TFA (90%)
TFA (90%)
43a
TFA (90%)
42a
46a
44a

FIG. 28

Replacement Sheet

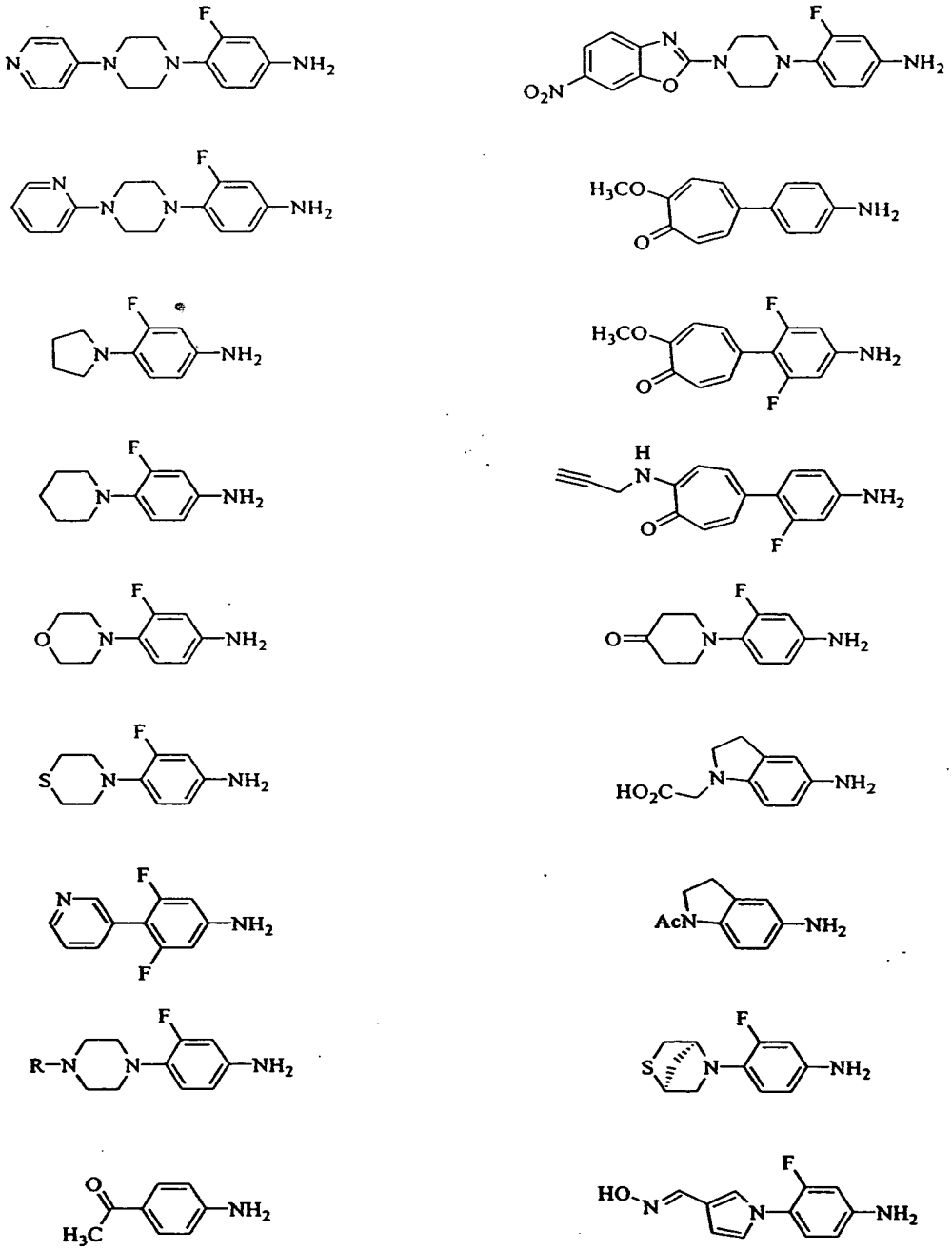
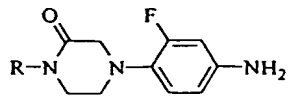
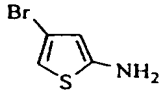
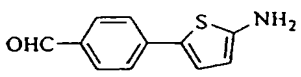


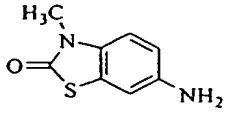
FIG 29

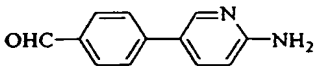
Replacement Sheet

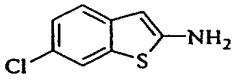


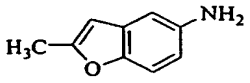


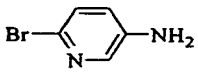


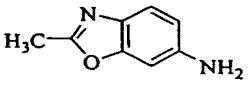


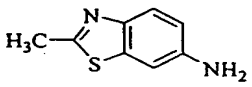


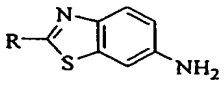


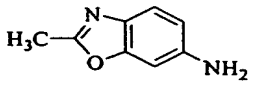


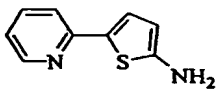


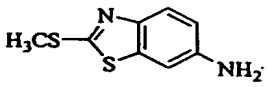


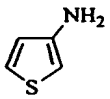


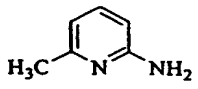


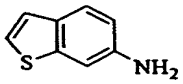












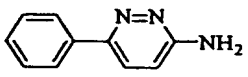


FIG 30

Replacement Sheet

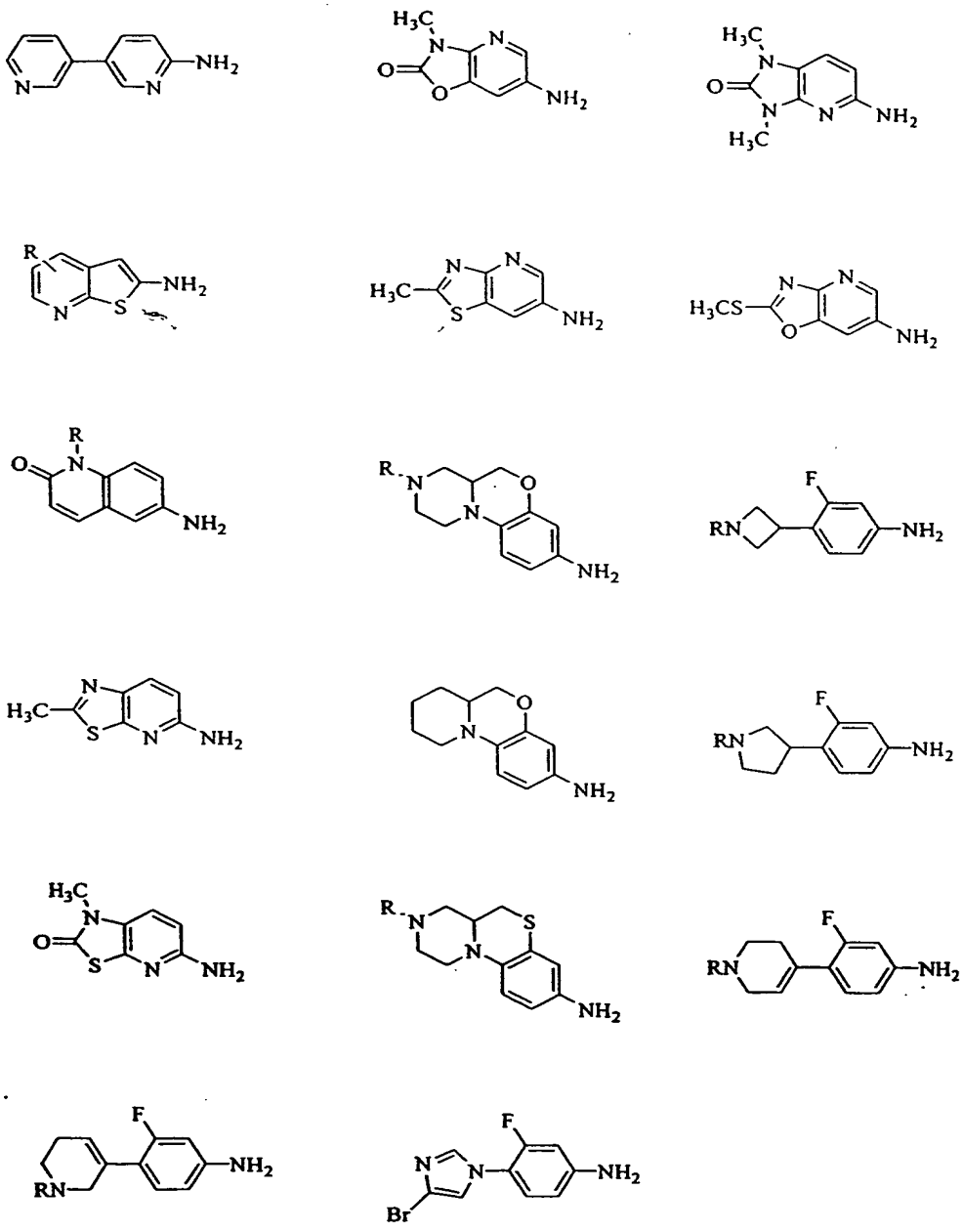


FIG 31

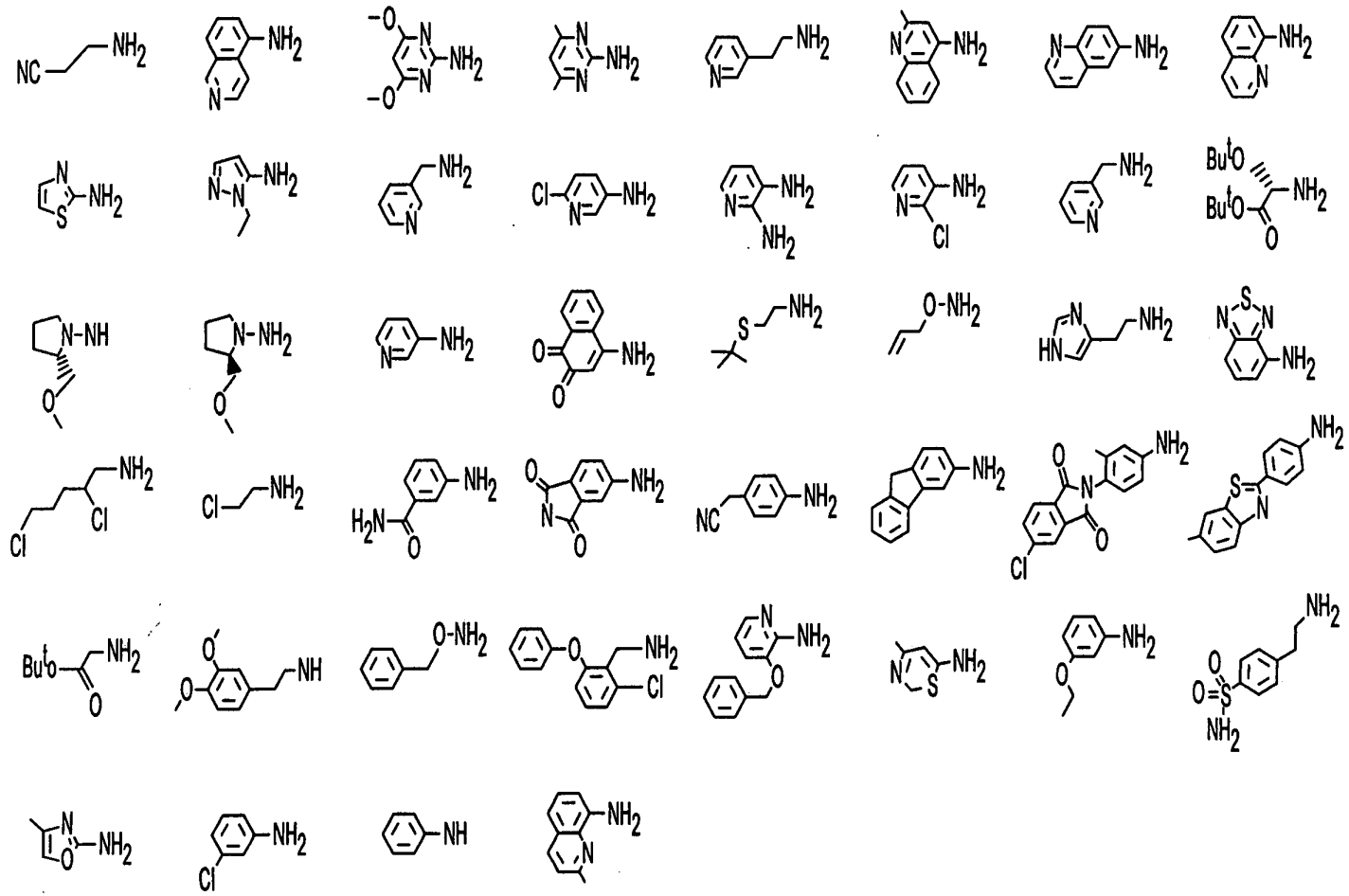


FIG. 33A

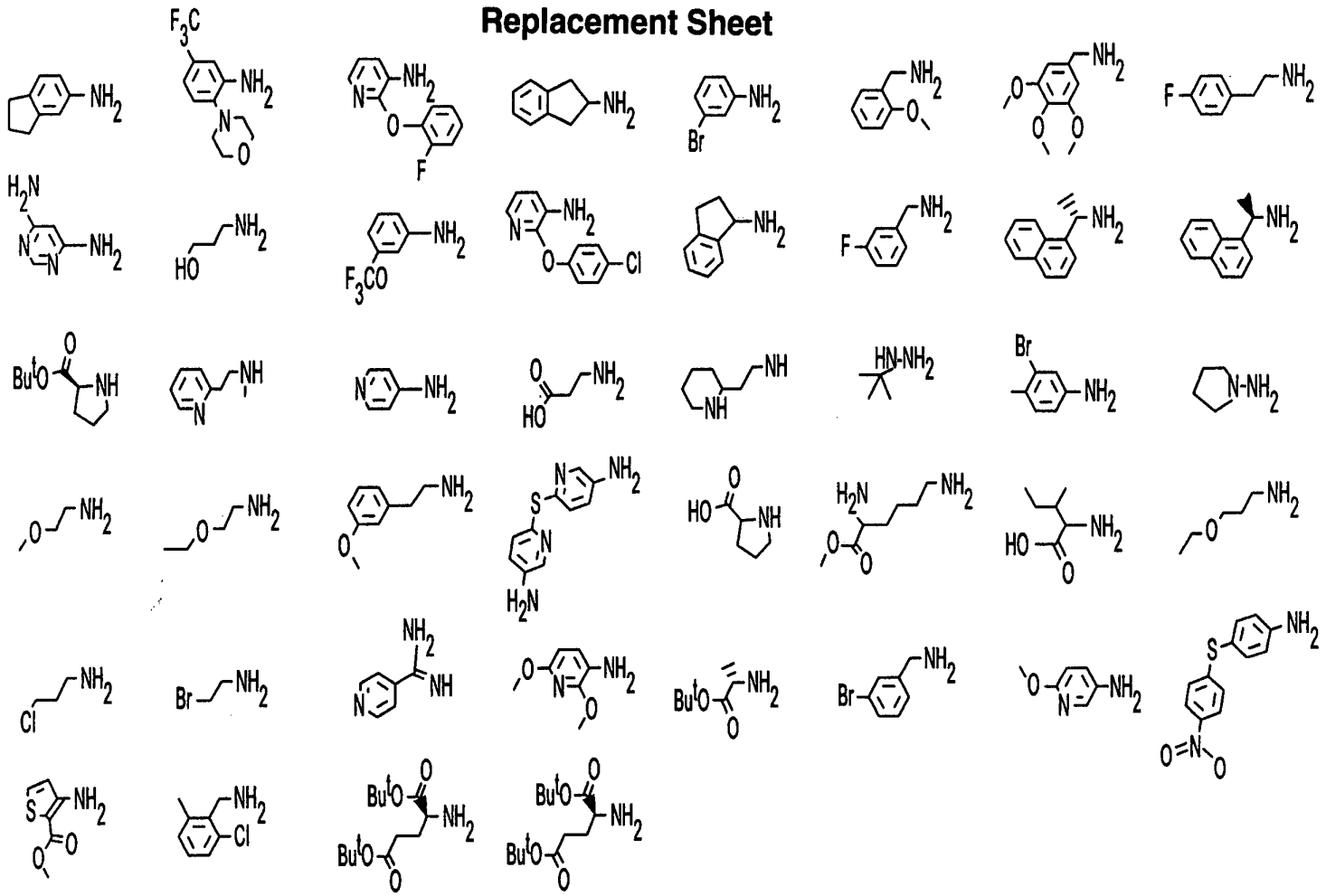


FIG. 33B

Replacement Sheet

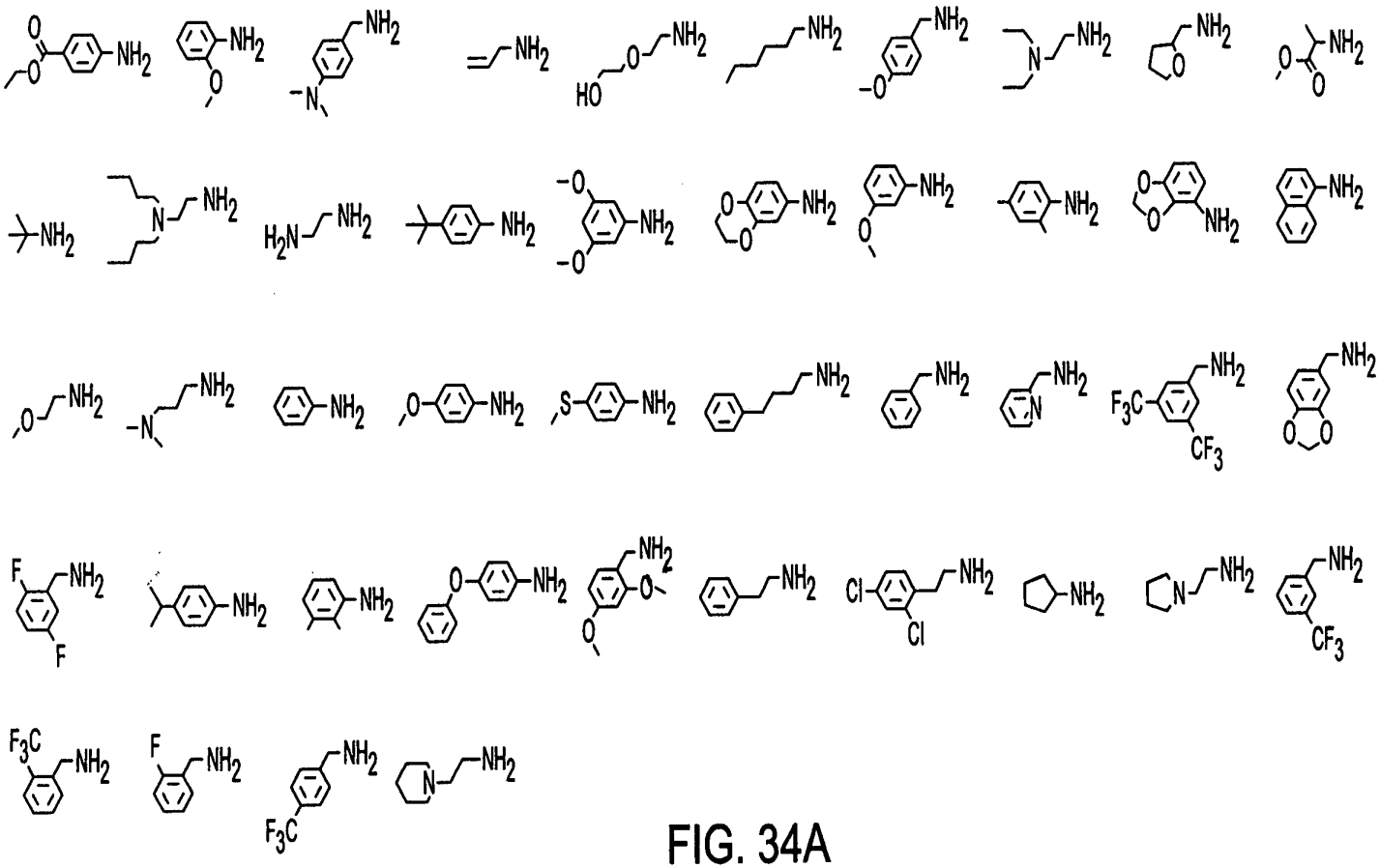


FIG. 34A

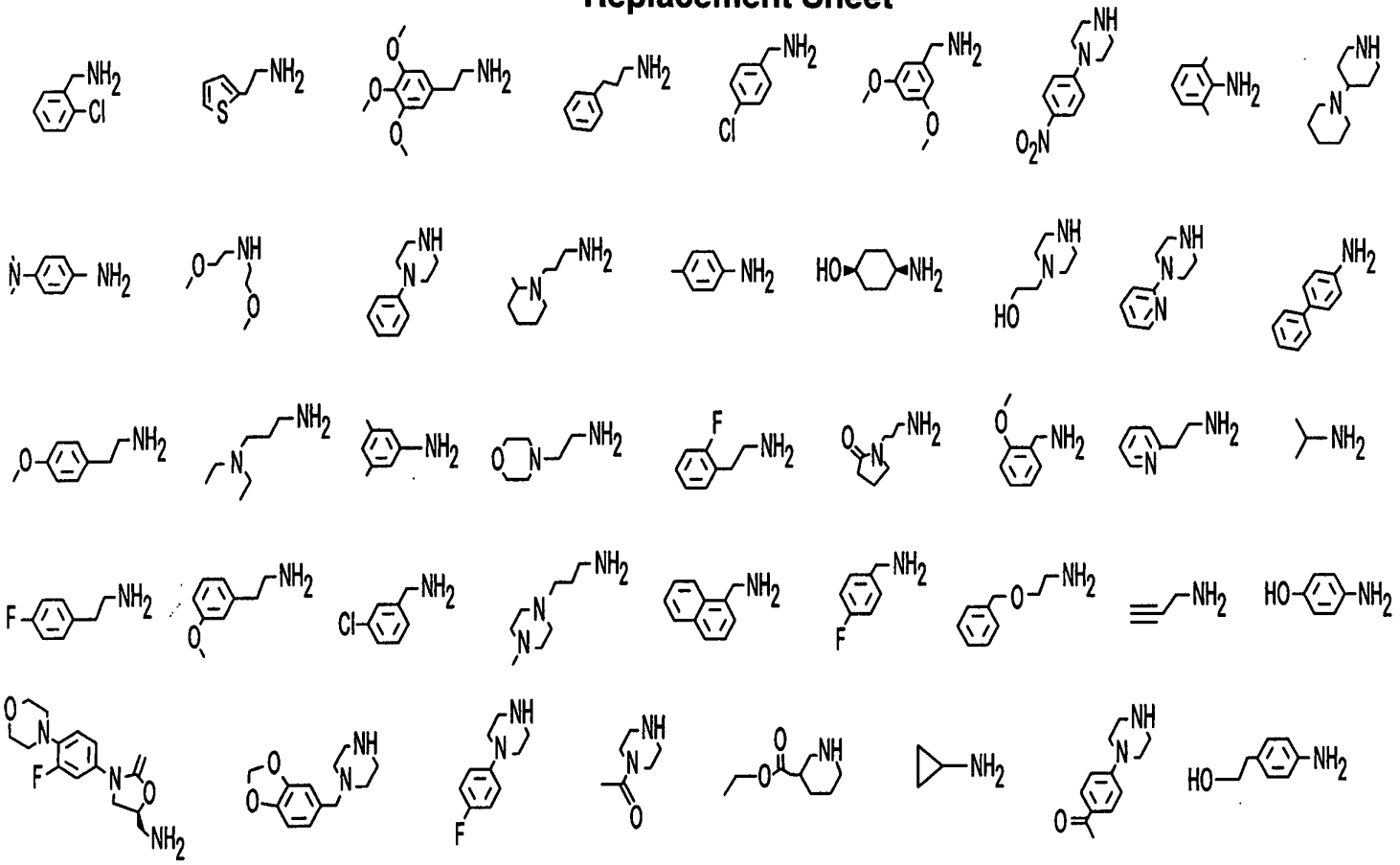


FIG. 34B

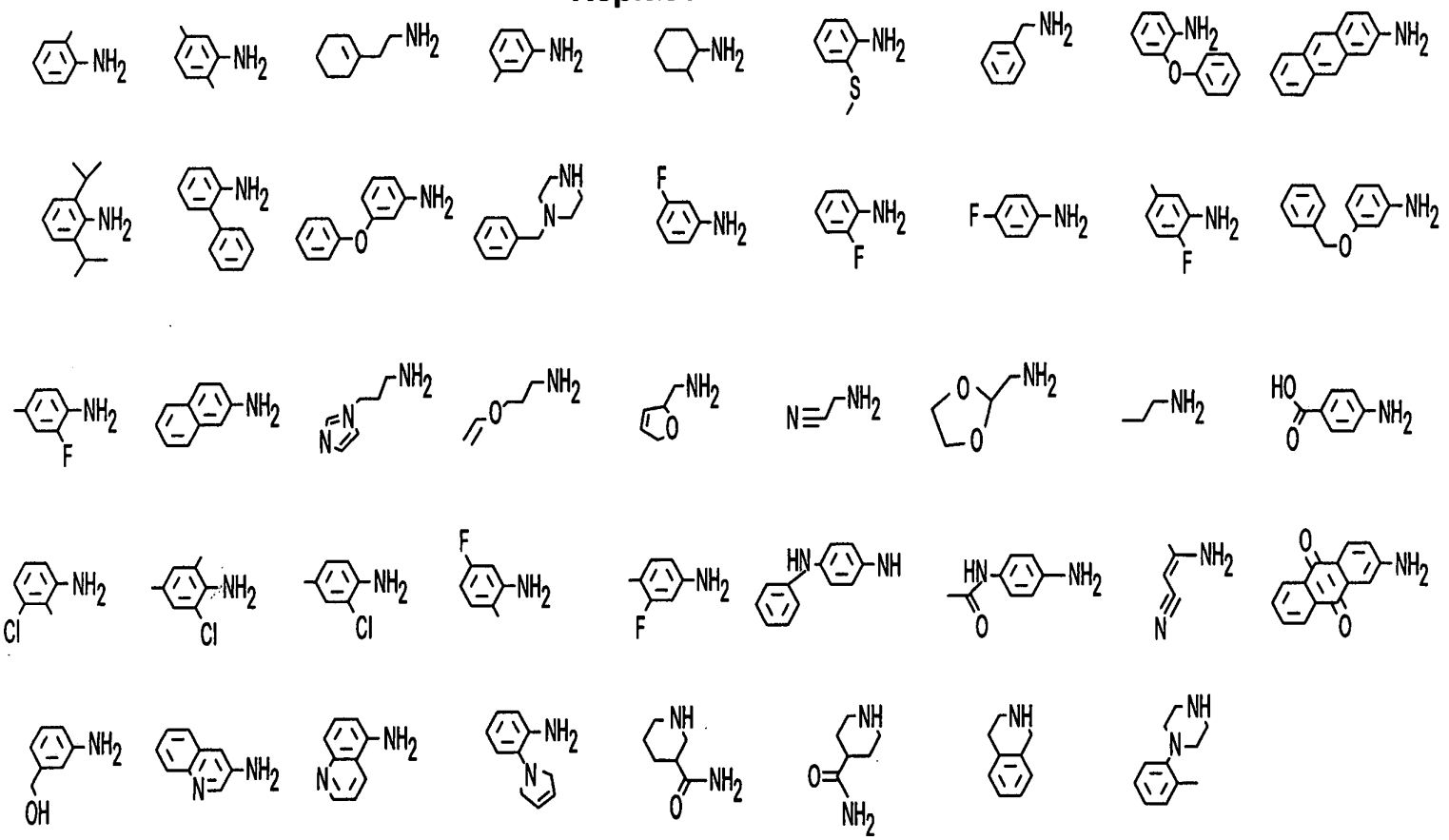


FIG. 35A

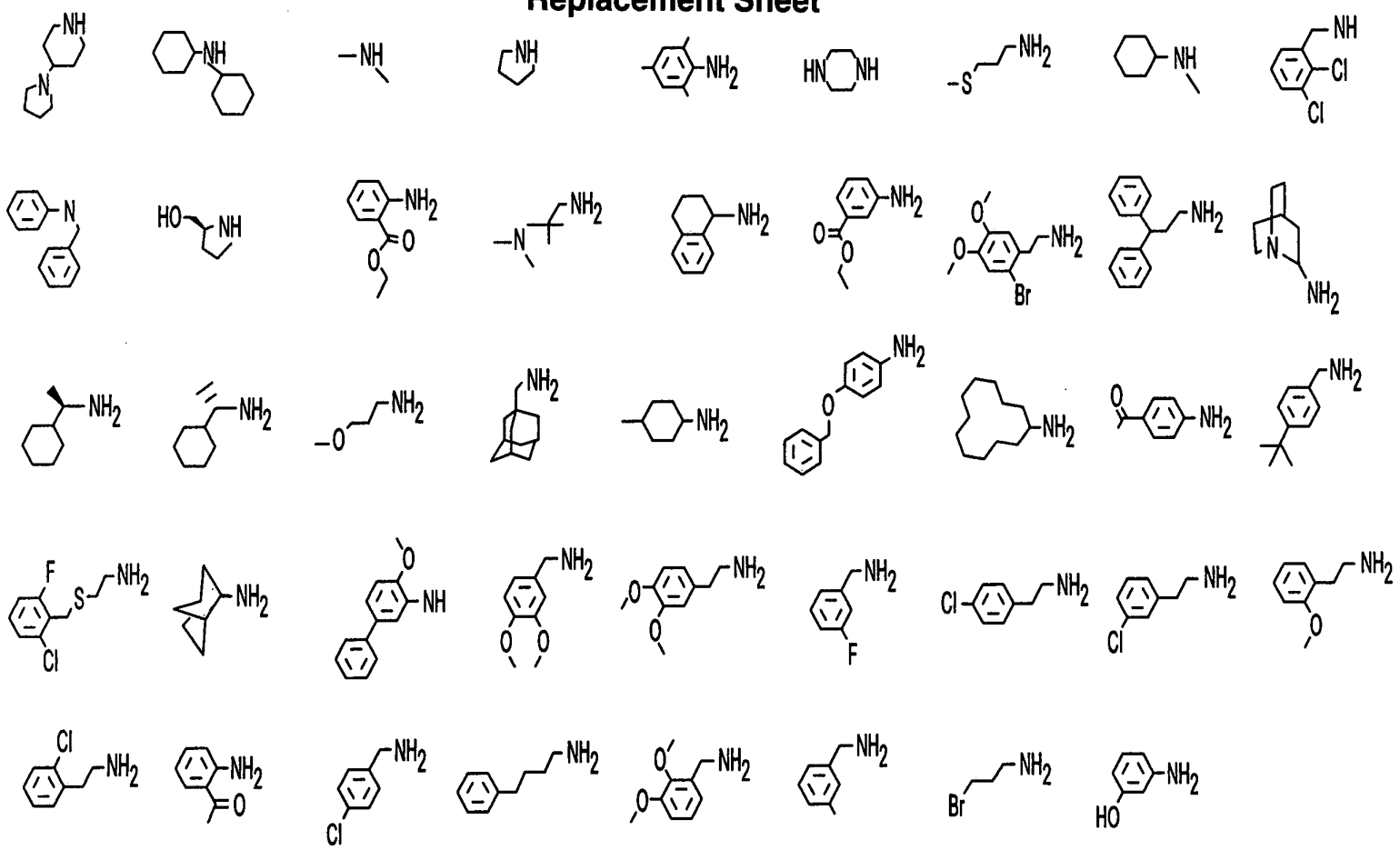


FIG. 35B

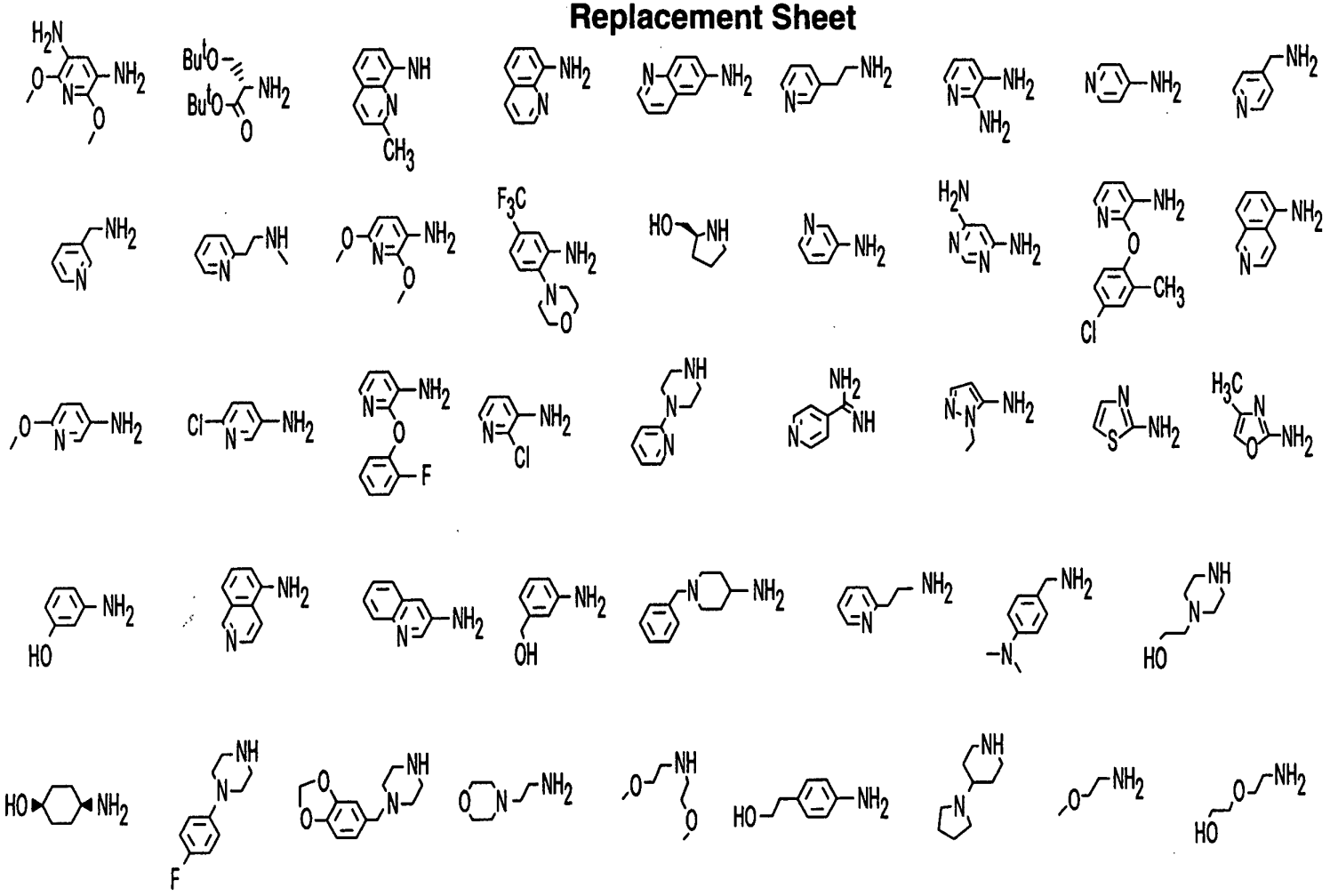


FIG. 36

Replacement Sheet

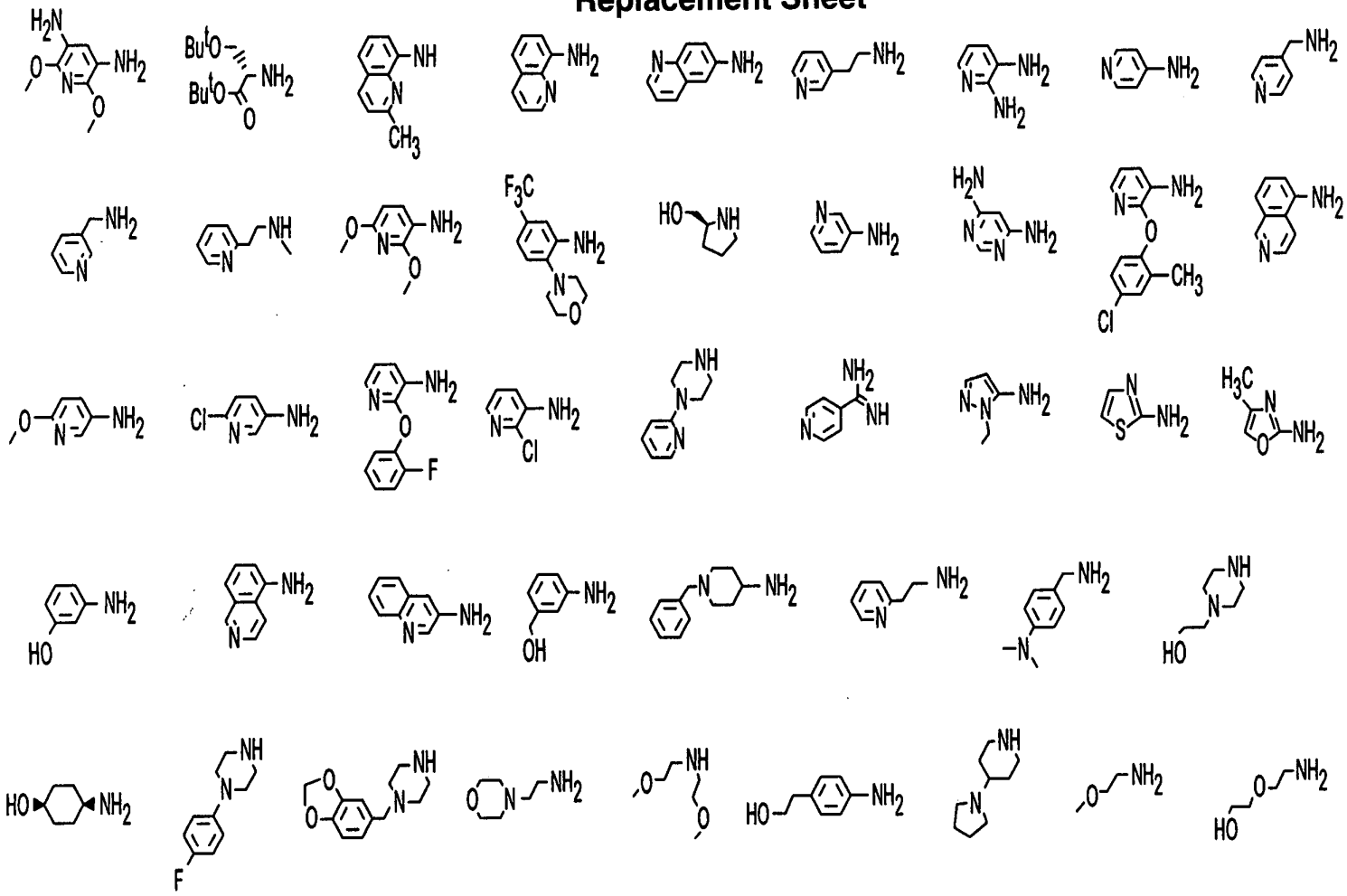


FIG. 37

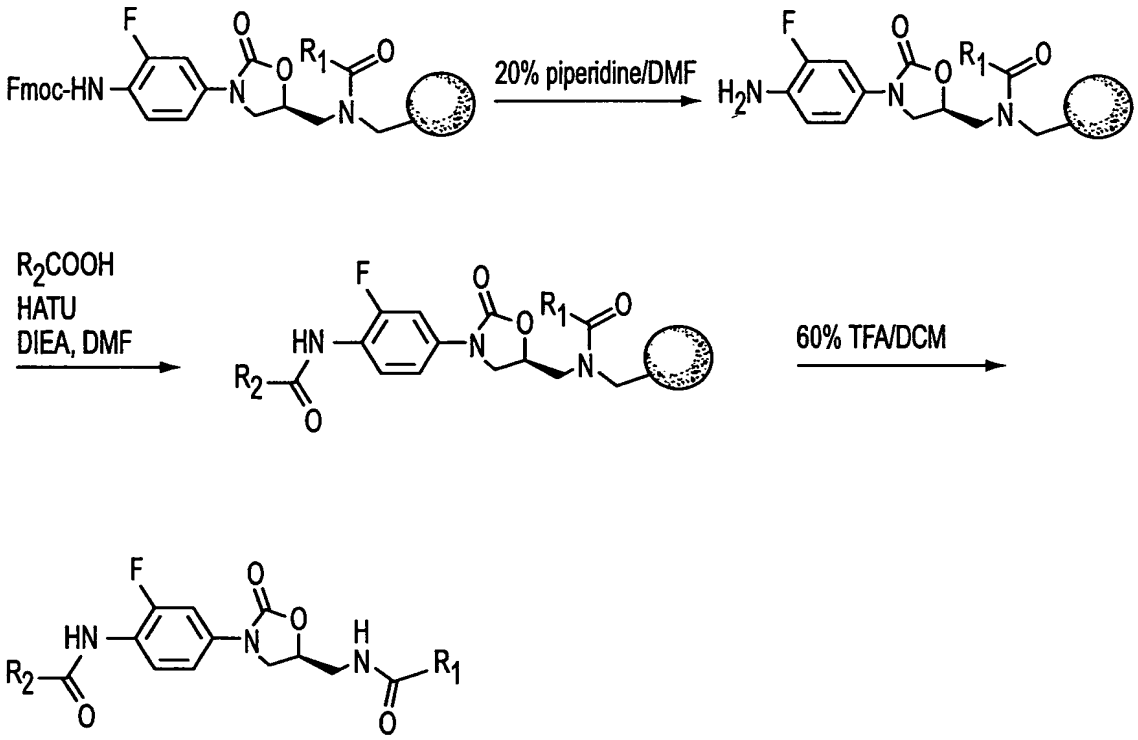

Fmoc-HN
20% piperidine/DMF
H2N
R2COOH
HATU
DIEA, DMF
60% TFA/DCM
HN
R2
R1
F
O
N

FIG. 39

Replacement Sheet

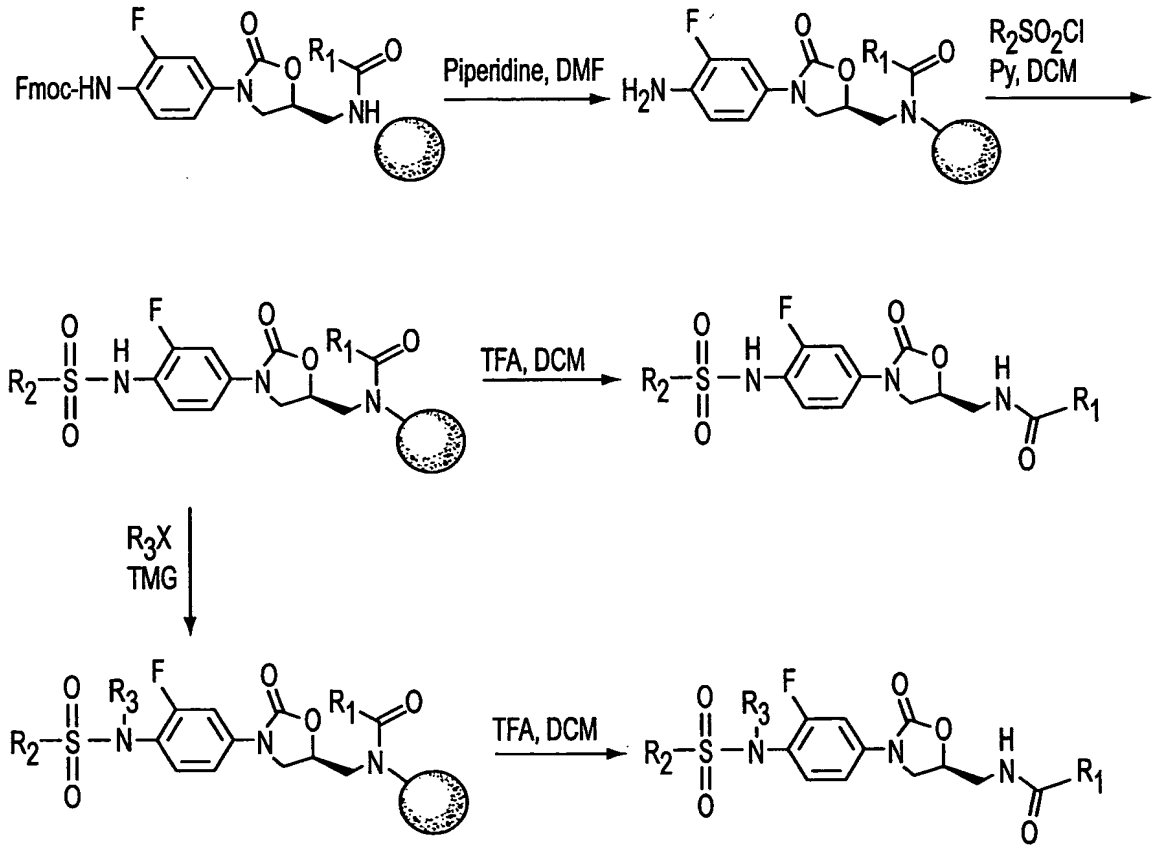


FIG. 40

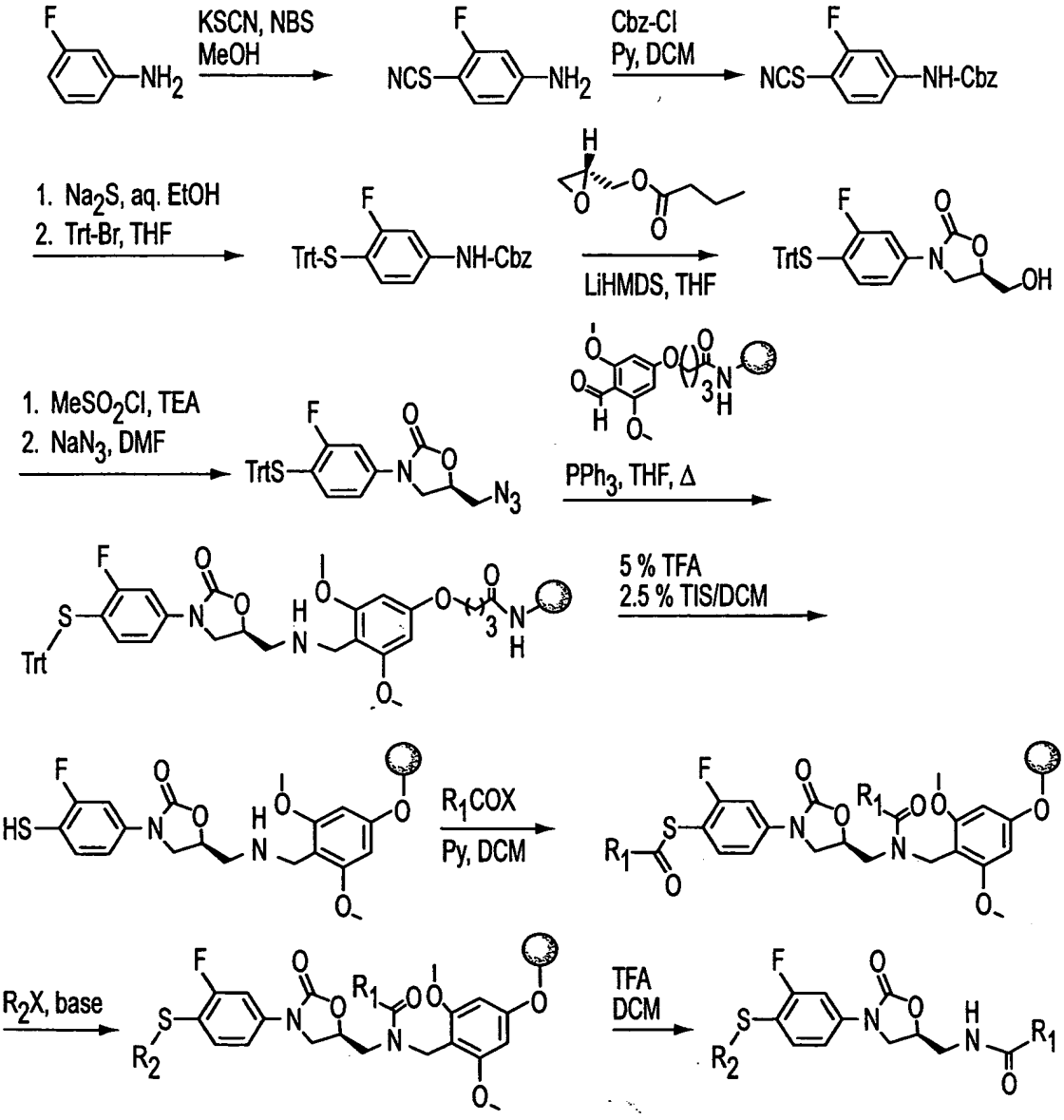

KSCN, NBS
MeOH
Cbz-Cl
Py, DCM
1. Na2S, aq. EtOH
2. Trt-Br, THF
LiHMDS, THF
1. MeSO2Cl, TEA
2. NaN3, DMF
PPh3, THF, Δ
5 % TFA
2.5 % TIS/DCM
R1COX
Py, DCM
R2X, base
TFA
DCM

FIG. 41

Replacement Sheet

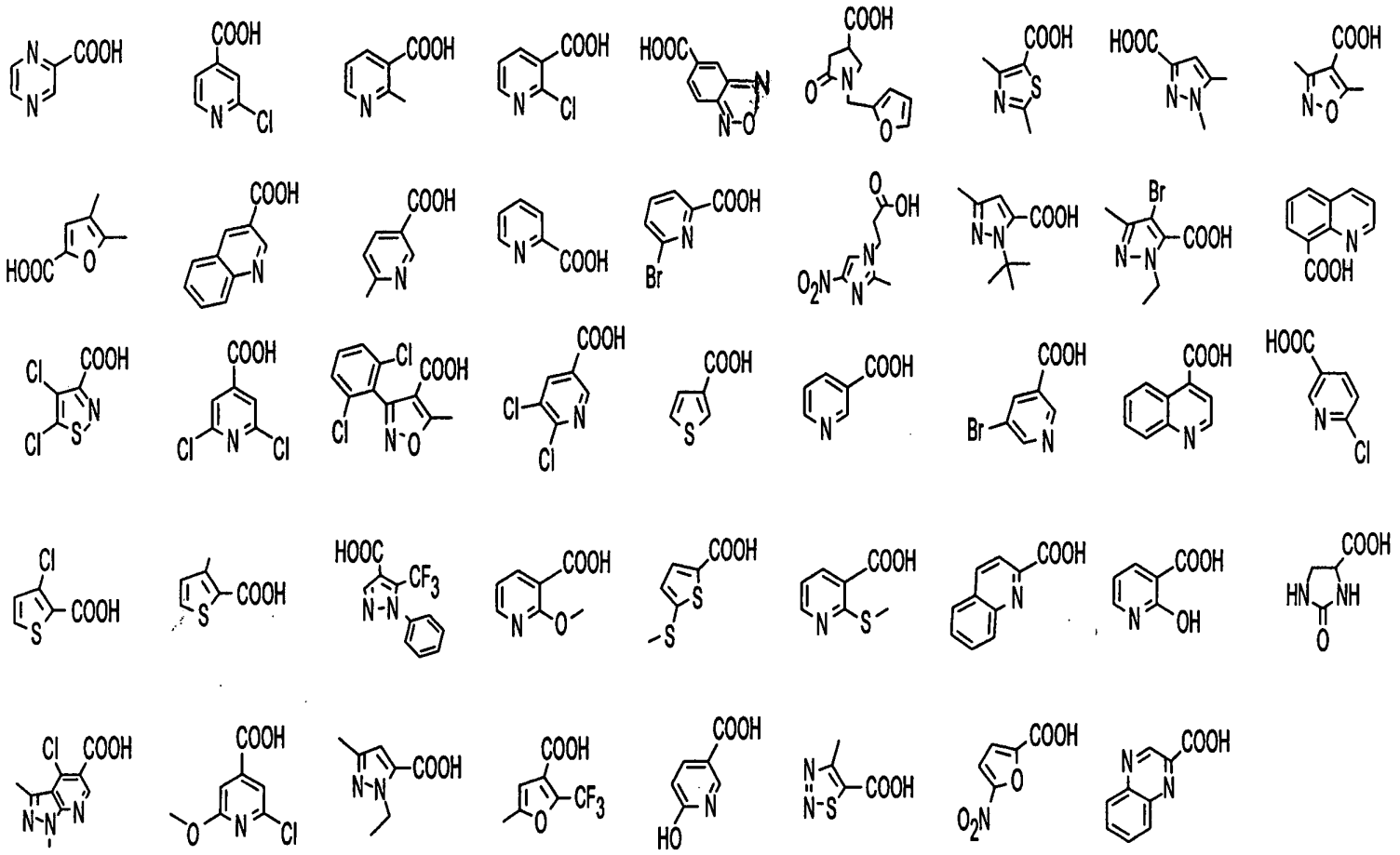


FIG. 42A

Replacement Sheet

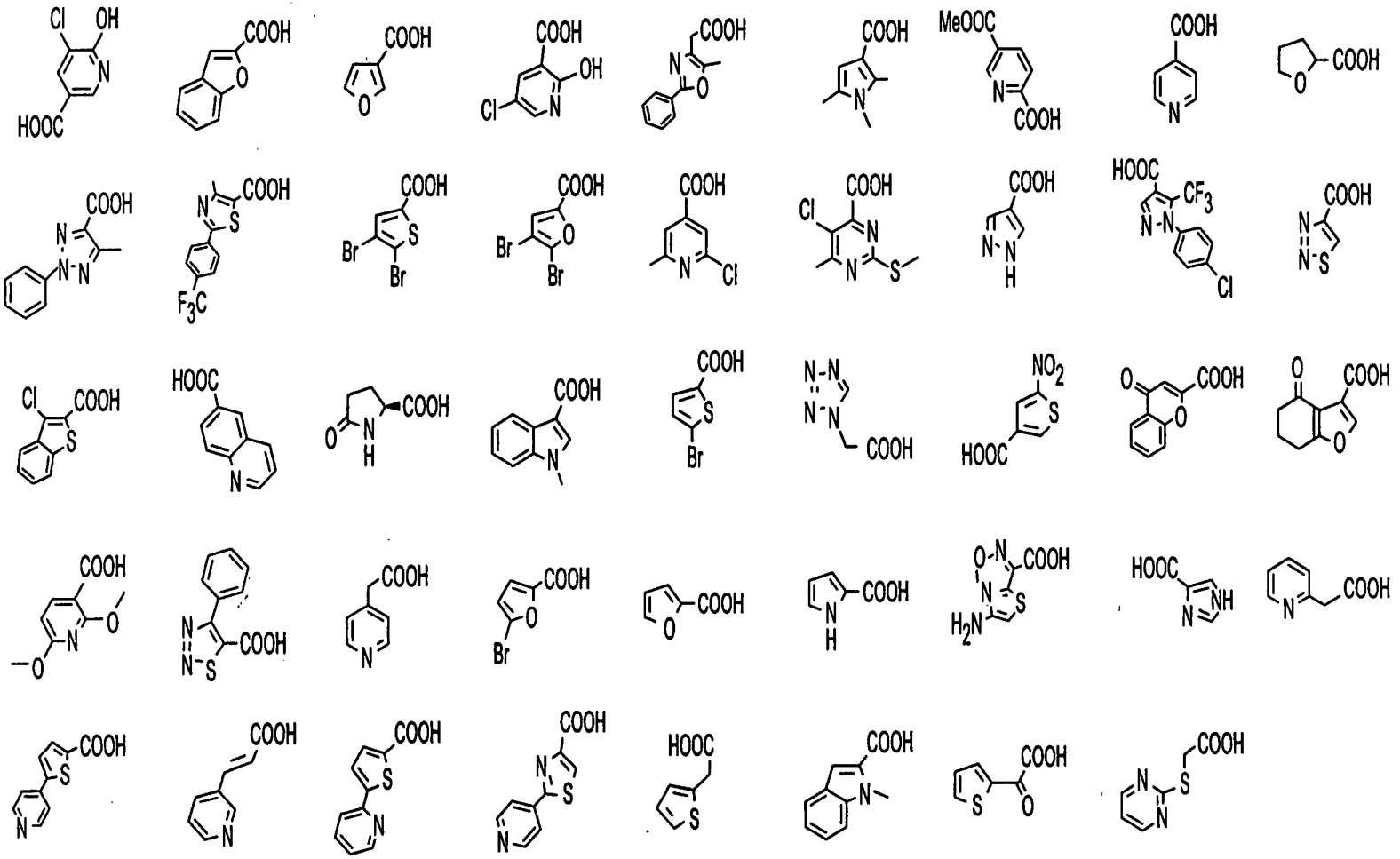


FIG. 42B

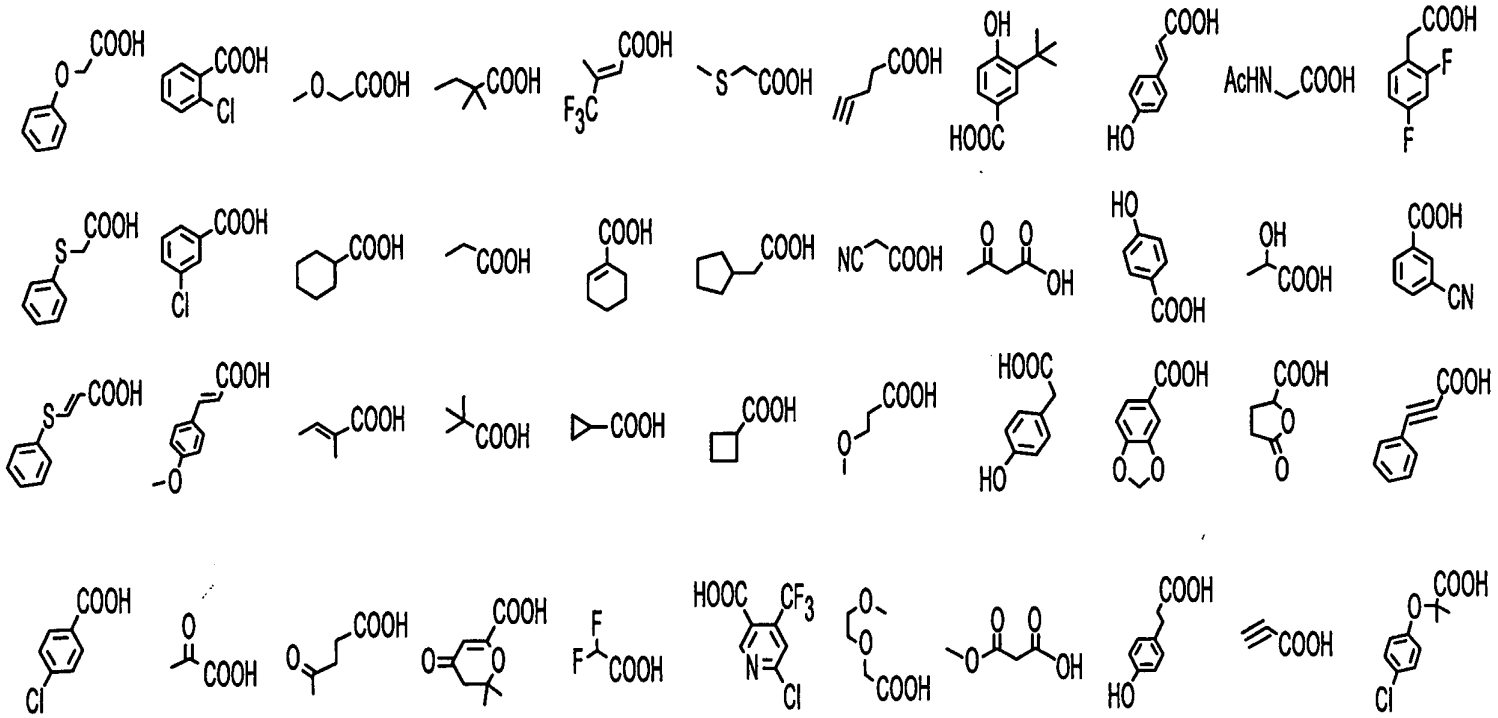


FIG. 43A

Replacement Sheet

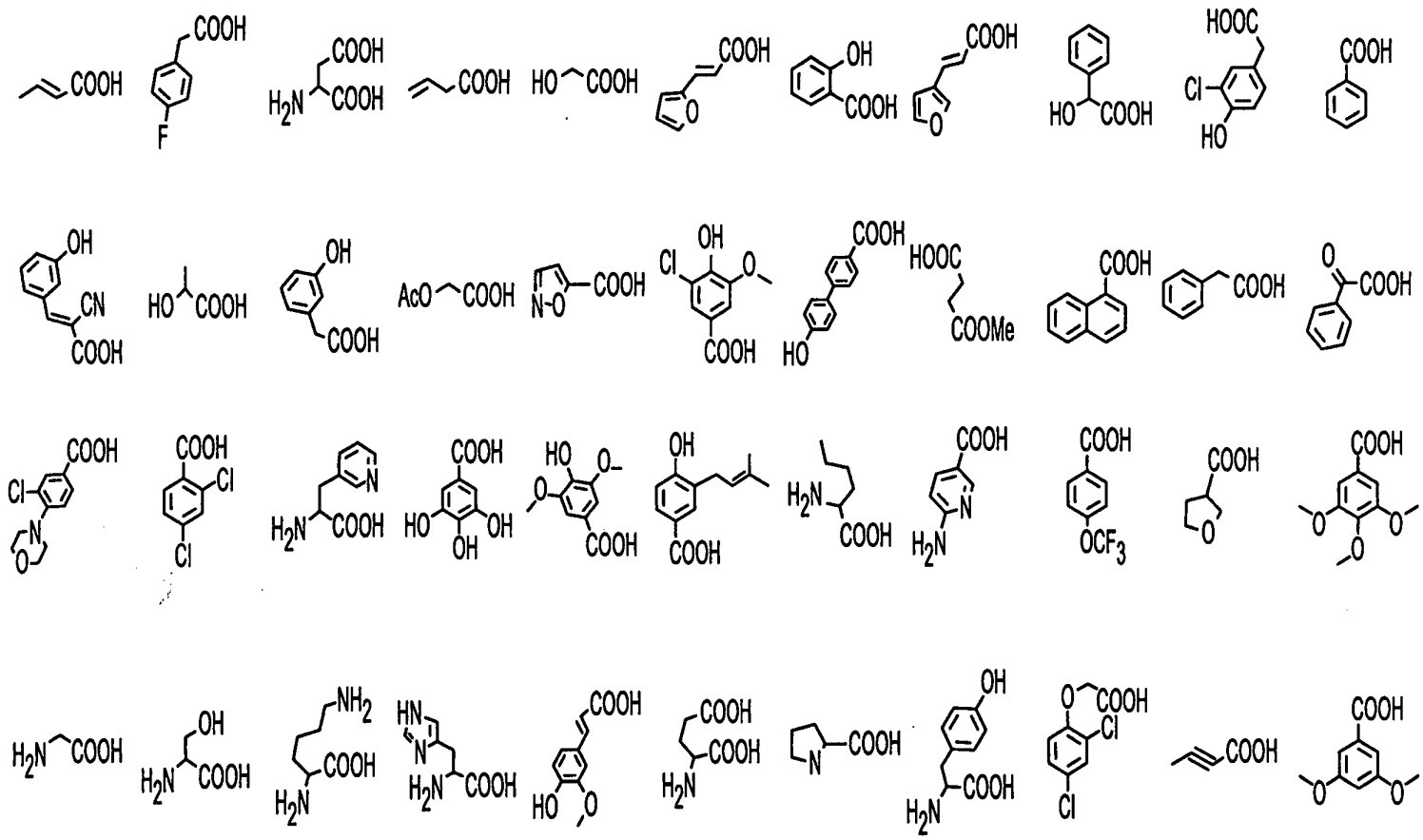


FIG. 43B

Replacement Sheet

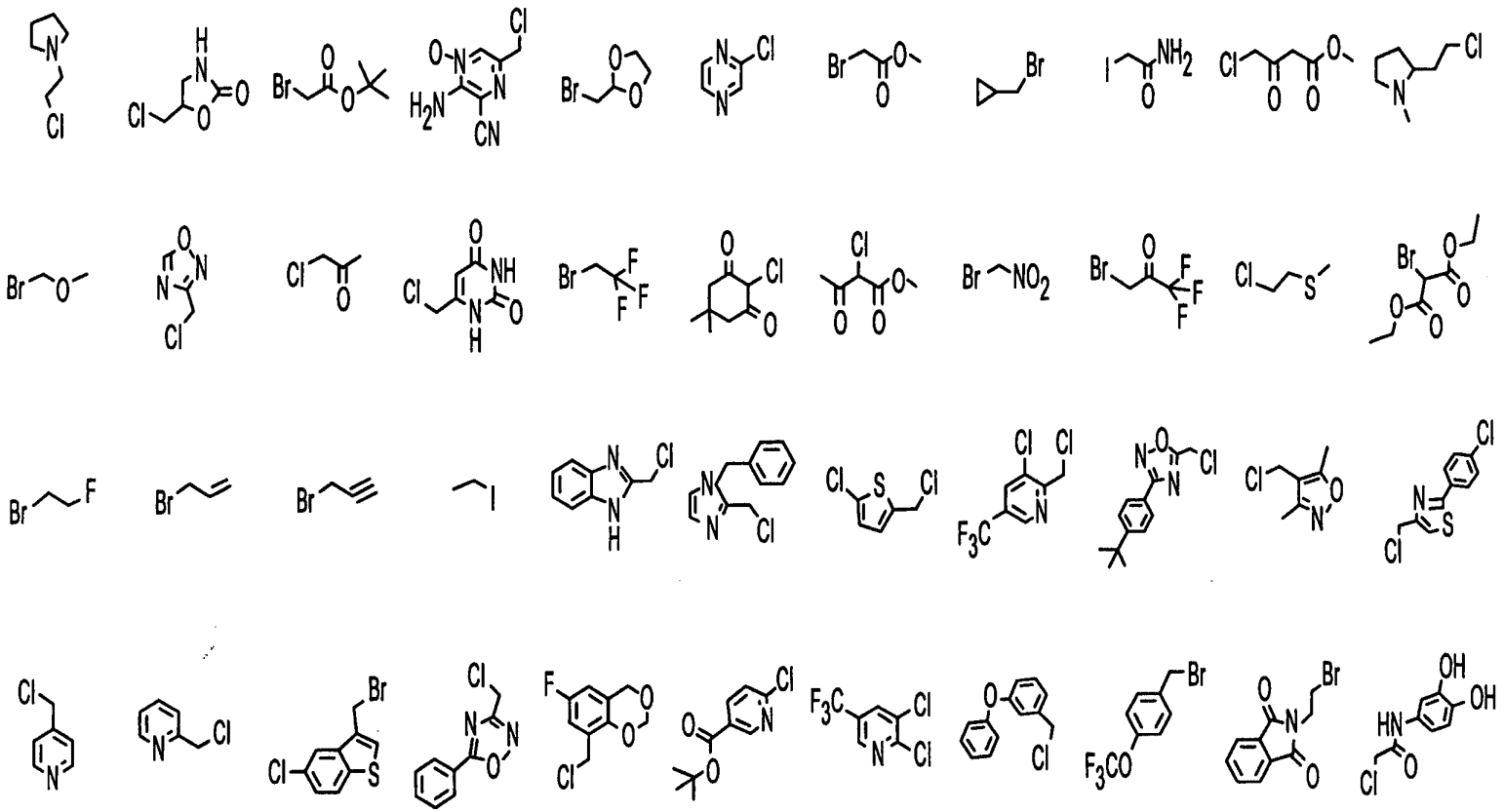


FIG. 44A

Replacement Sheet

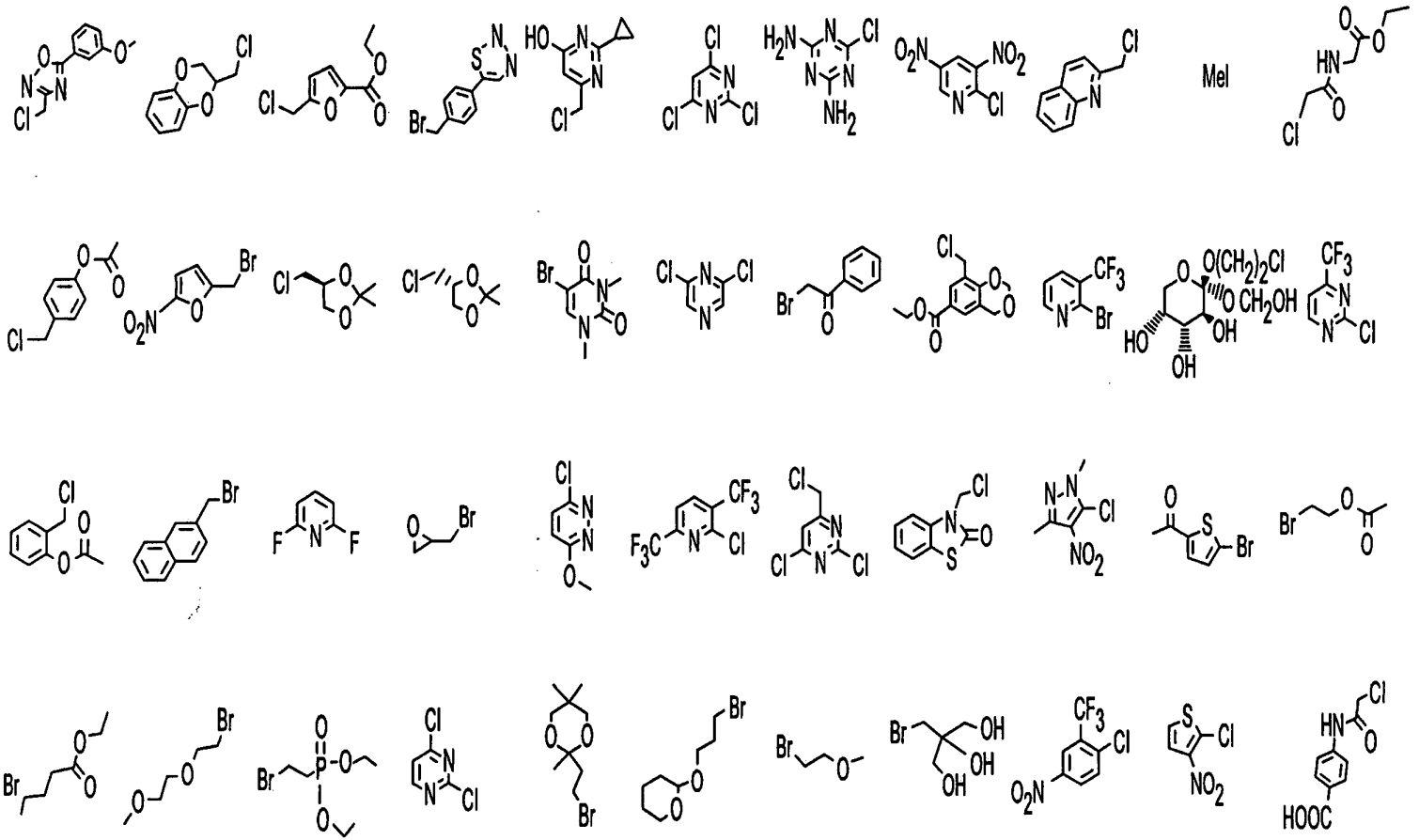


FIG. 44B

Replacement Sheet

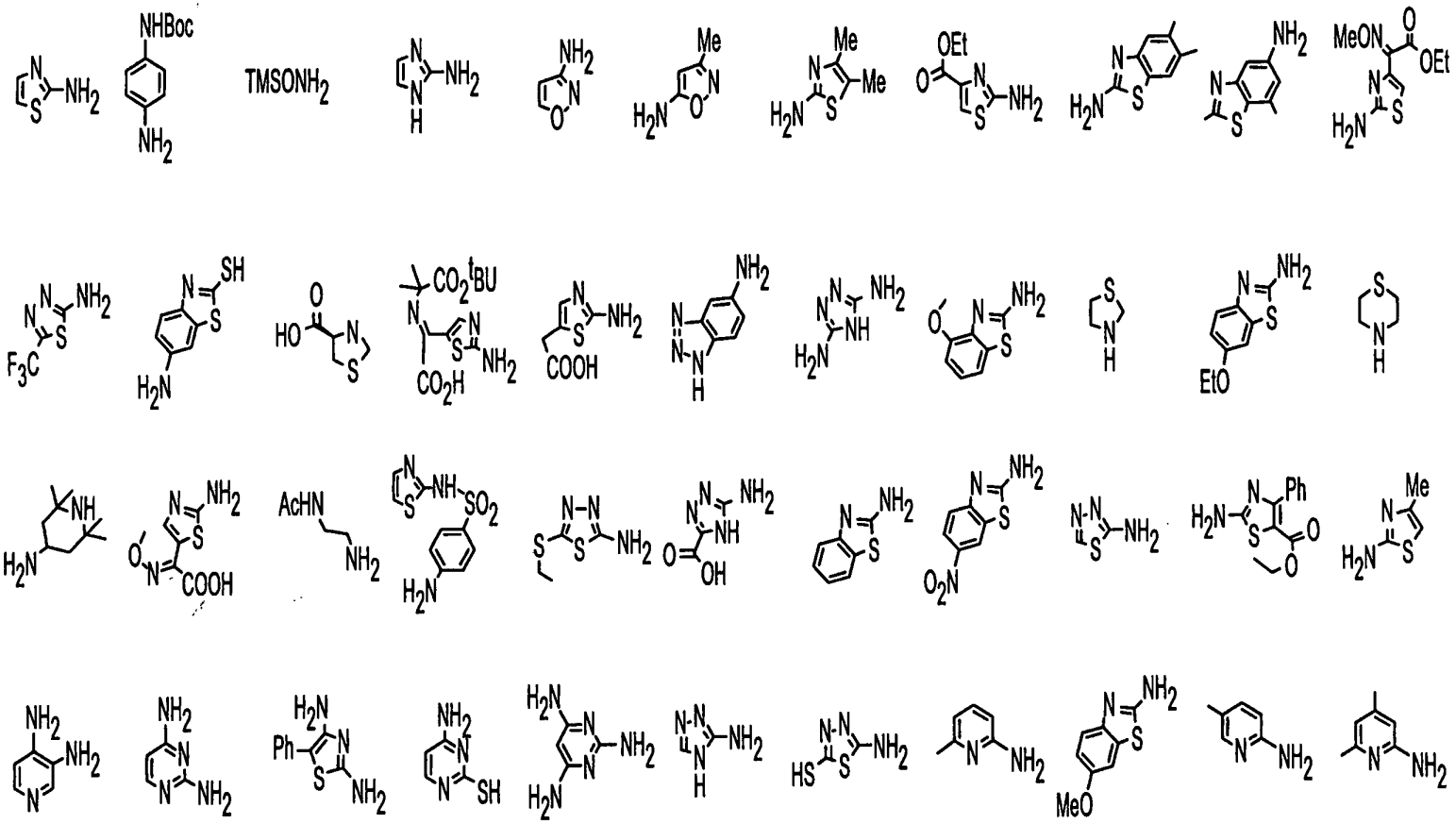


FIG. 47A

Replacement Sheet

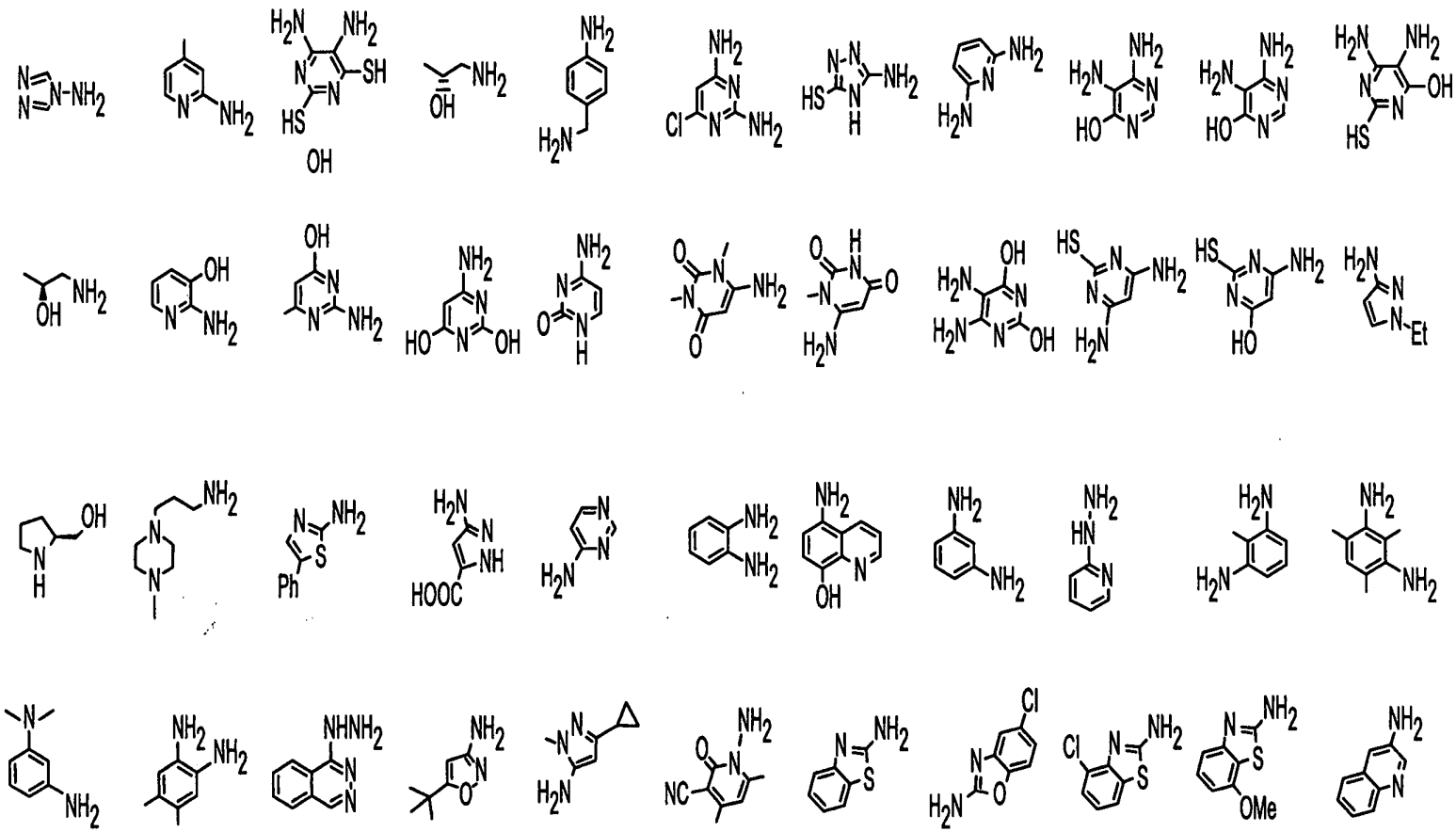
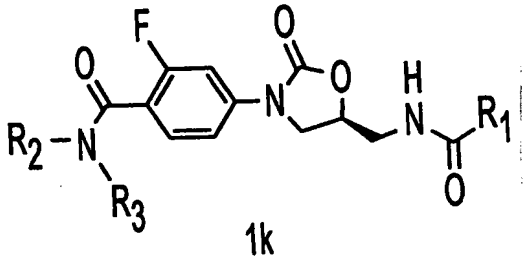
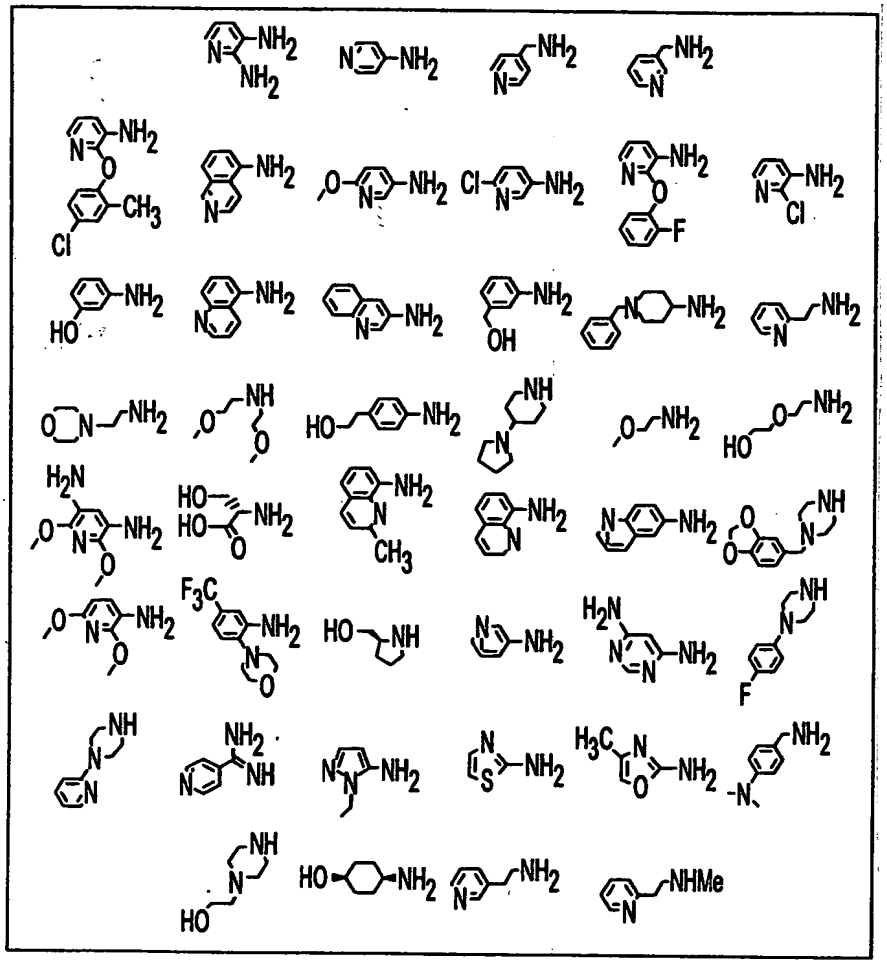


FIG. 47B



1k

R_2R_3NH



R_1COOH

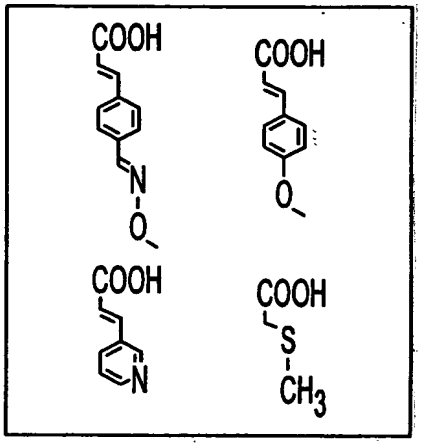


FIG. 48

Replacement Sheet

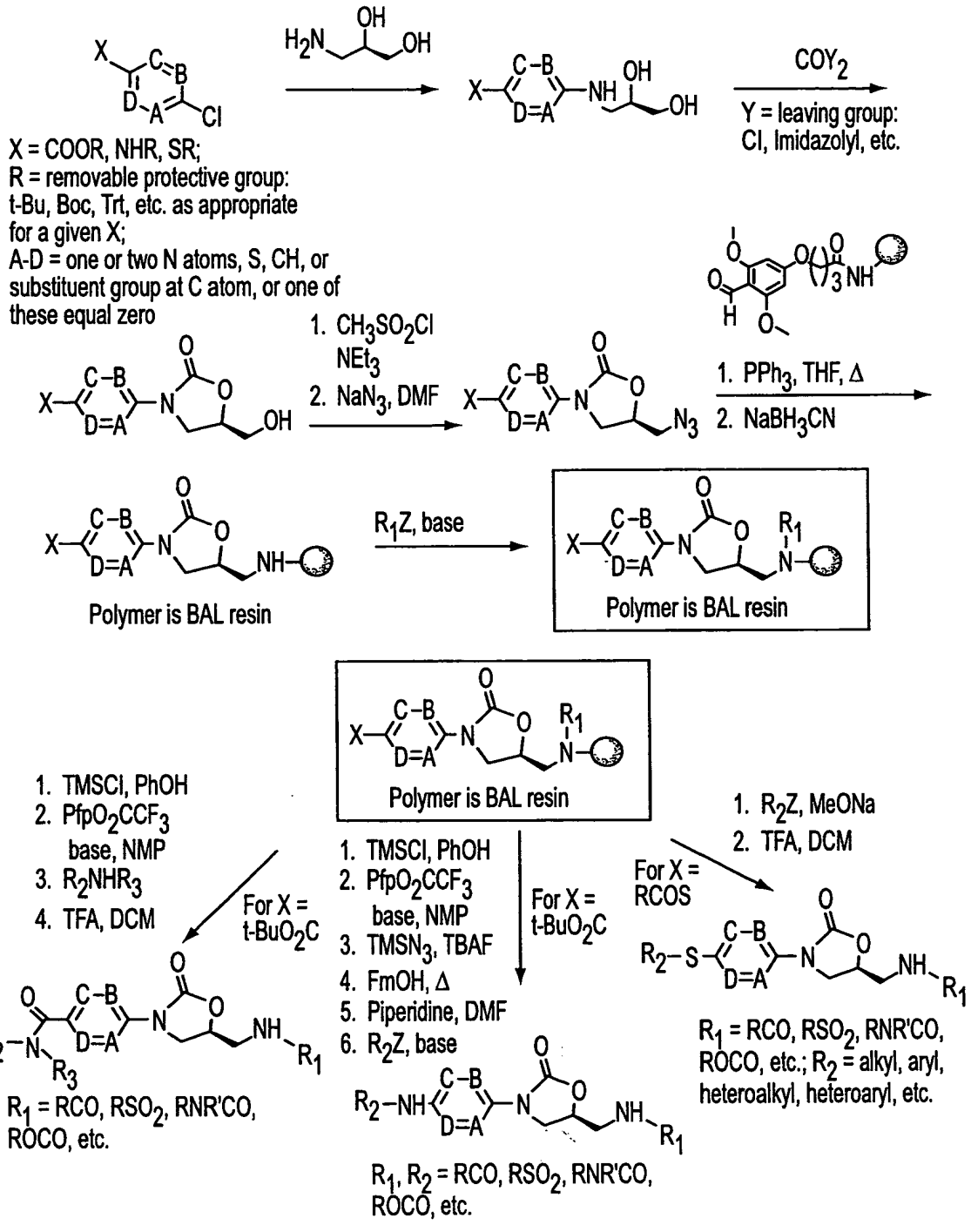


FIG. 49